10731723.trn 09/09/2004

Connecting via Winsock to STN

Welcome to STN International! Enter x:x

LOGINID:SSSPTA1626GMS

PASSWORD:
TERMINAL (ENTER 1, 2, 3, OR ?):2

* * * * * * * * * * Welcome to STN International * * * * * * * * * *

NEWS 1		Web Page URLs for STN Seminar Schedule - N. America
NEWS 2		"Ask CAS" for self-help around the clock
NEWS 3	May 12	EXTEND option available in structure searching
NEWS 4	May 12	Polymer links for the POLYLINK command completed in REGISTRY
NEWS 5	May 27	New UPM (Update Code Maximum) field for more efficient patent SDIs in CAplus
NEWS 6	May 27	CAplus super roles and document types searchable in REGISTRY
NEWS 7	Jun 28	Additional enzyme-catalyzed reactions added to CASREACT
NEWS 8	Jun 28	ANTE, AQUALINE, BIOENG, CIVILENG, ENVIROENG, MECHENG, and WATER from CSA now available on STN(R)
NEWS 9	Jul 12	BEILSTEIN enhanced with new display and select options, resulting in a closer connection to BABS
NEWS 10	Jul 30	BEILSTEIN on STN workshop to be held August 24 in conjunction with the 228th ACS National Meeting
NEWS 11	AUG 02	IFIPAT/IFIUDB/IFICDB reloaded with new search and display fields
NEWS 12	AUG 02	CAplus and CA patent records enhanced with European and Japan Patent Office Classifications
NEWS 13	AUG 02	STN User Update to be held August 22 in conjunction with the 228th ACS National Meeting
NEWS 14	AUG 02	The Analysis Edition of STN Express with Discover! (Version 7.01 for Windows) now available
NEWS 15	AUG 04	Pricing for the Save Answers for SciFinder Wizard within STN Express with Discover! will change September 1, 2004
NEWS 16	AUG 27	BIOCOMMERCE: Changes and enhancements to content coverage
NEWS 17	AUG 27	BIOTECHABS/BIOTECHDS: Two new display fields added for legal status data from INPADOC
NEWS 18	SEP 01	INPADOC: New family current-awareness alert (SDI) available
NEWS 19	SEP 01	New pricing for the Save Answers for SciFinder Wizard within STN Express with Discover!
NEWS 20	SEP 01	New display format, HITSTR, available in WPIDS/WPINDEX/WPIX

NEWS EXPRESS	JULY 30 CURRENT WINDOWS VERSION IS V7.01, CURRENT MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP), AND CURRENT DISCOVER FILE IS DATED 11 AUGUST 2004
NEWS HOURS	STN Operating Hours Plus Help Desk Availability
NEWS INTER	General Internet Information
NEWS LOGIN	Welcome Banner and News Items
NEWS PHONE	Direct Dial and Telecommunication Network Access to STN
NEWS WWW	CAS World Wide Web Site (general information)

Enter NEWS followed by the item number or name to see news on that specific topic.

* * * * * * * * * * * * * * STN Columbus * * * * * * * * * * * * * * *

FILE 'HOME' ENTERED AT 16:53:30 ON 09 SEP 2004

=> FIL REGISTRY

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	0.21	0.21

FILE 'REGISTRY' ENTERED AT 16:53:41 ON 09 SEP 2004
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 8 SEP 2004 HIGHEST RN 741635-85-8
DICTIONARY FILE UPDATES: 8 SEP 2004 HIGHEST RN 741635-85-8

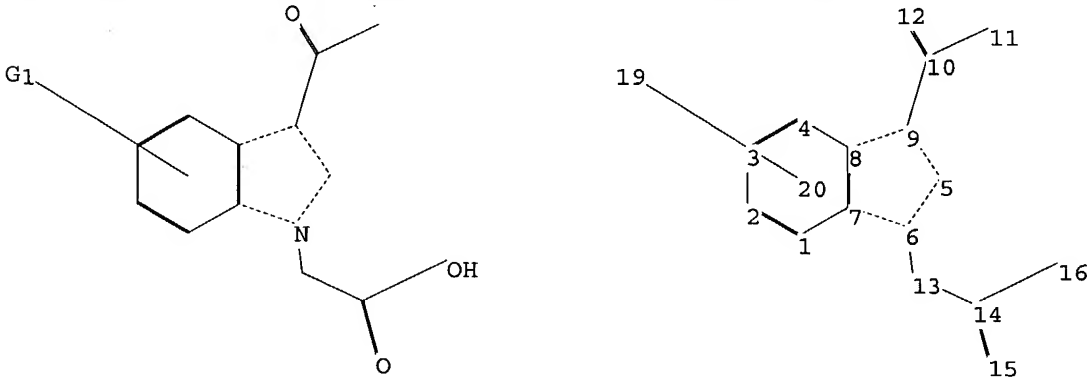
TSCA INFORMATION NOW CURRENT THROUGH MAY 21, 2004

Please note that search-term pricing does apply when conducting SmartSELECT searches.

Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. For more information enter HELP PROP at an arrow prompt in the file or refer to the file summary sheet on the web at:
http://www.cas.org/ONLINE/DBSS/registryss.html

=>
Uploading C:\Program Files\Stnexp\Queries\10731723.str



chain nodes :
10 11 12 13 14 15 16 19
ring nodes :
1 2 3 4 5 6 7 8 9
chain bonds :

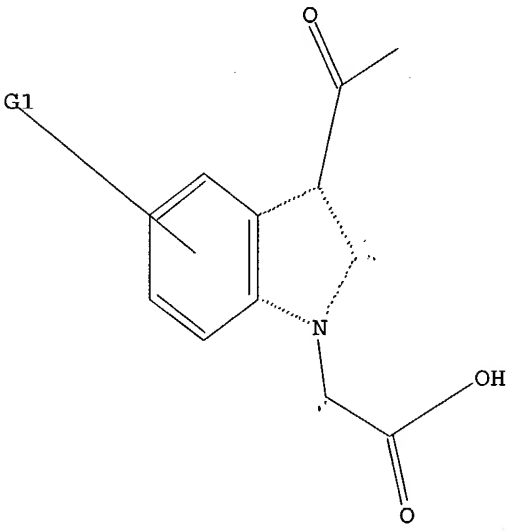
6-13 9-10 10-11 10-12 13-14 14-15 14-16
ring bonds :
1-2 1-7 2-3 3-4 4-8 5-6 5-9 6-7 7-8 8-9
exact/norm bonds :
5-6 5-9 6-7 6-13 8-9 10-12
exact bonds :
9-10 10-11 13-14
normalized bonds :
1-2 1-7 2-3 3-4 4-8 7-8 14-15 14-16
isolated ring systems :
containing 1 :

G1:Cb,Cy,Hy,Ak

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:CLASS
11:CLASS 12:CLASS 13:CLASS 14:CLASS 15:CLASS 16:CLASS 19:CLASS 20:CLASS

L1 STRUCTURE UPLOADED

=> d l1
L1 HAS NO ANSWERS
L1 STR



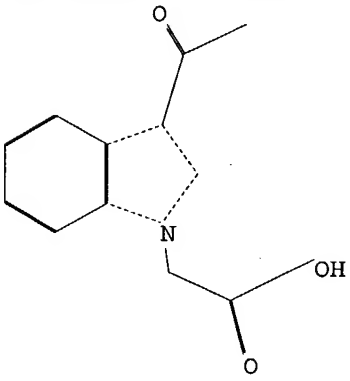
G1 Cb,Cy,Hy,Ak

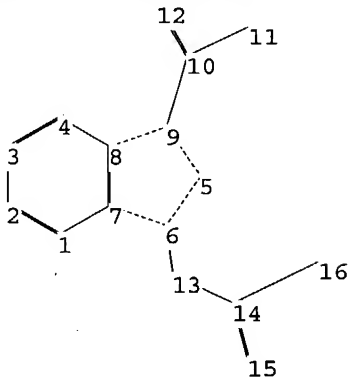
Structure attributes must be viewed using STN Express query preparation.

=> s l1
SAMPLE SEARCH INITIATED 16:54:04 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 30 TO ITERATE

100.0% PROCESSED 30 ITERATIONS 0 ANSWERS
SEARCH TIME: 00.00.01

```
FULL FILE PROJECTIONS:  ONLINE  **COMPLETE**
                        BATCH   **COMPLETE**
PROJECTED ITERATIONS:          272 TO       928
PROJECTED ANSWERS:               0 TO         0

L2             0 SEA SSS SAM L1

=> s l1 sss full
FULL SEARCH INITIATED 16:54:15 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED -    490 TO ITERATE

100.0% PROCESSED     490 ITERATIONS                          0 ANSWERS
SEARCH TIME: 00.00.01

L3             0 SEA SSS FUL L1

=>
Uploading C:\Program Files\Stnexp\Queries\10731723a.str
```

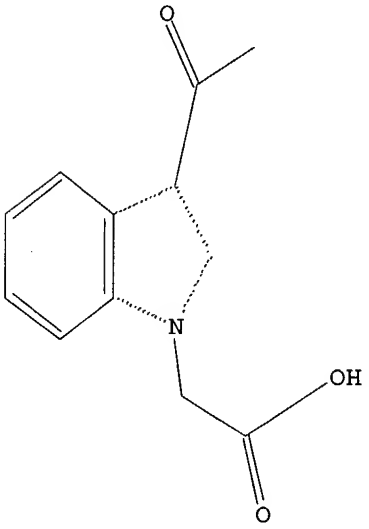





```
chain nodes :
10  11  12  13  14  15  16
ring nodes :
1  2  3  4  5  6  7  8  9
chain bonds :
6-13  9-10  10-11  10-12  13-14  14-15  14-16
ring bonds :
1-2  1-7  2-3  3-4  4-8  5-6  5-9  6-7  7-8  8-9
exact/norm bonds :
5-6  5-9  6-7  6-13  8-9  10-12
exact bonds :
9-10  10-11  13-14
normalized bonds :
1-2  1-7  2-3  3-4  4-8  7-8  14-15  14-16
isolated ring systems :
containing 1 :


G1:Cb,Cy,Hy,Ak

Match level :
1:Atom  2:Atom  3:Atom  4:Atom  5:Atom  6:Atom  7:Atom  8:Atom  9:Atom  10:CLASS
11:CLASS  12:CLASS  13:CLASS  14:CLASS  15:CLASS  16:CLASS
```

L4 STRUCTURE UPLOADED

=> d l4
L4 HAS NO ANSWERS
L4 STR



G1 Cb,Cy,Hy,Ak

Structure attributes must be viewed using STN Express query preparation.

=> s l4
SAMPLE SEARCH INITIATED 16:55:27 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 30 TO ITERATE

100.0% PROCESSED 30 ITERATIONS 1 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 272 TO 928
PROJECTED ANSWERS: 1 TO 80

L5 1 SEA SSS SAM L4

=> s l4 sss full
FULL SEARCH INITIATED 16:55:33 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 490 TO ITERATE

100.0% PROCESSED 490 ITERATIONS 17 ANSWERS
SEARCH TIME: 00.00.01

L6 17 SEA SSS FUL L4

=> FIL CAPLUS
COST IN U.S. DOLLARS

	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	311.26	311.47

FILE 'CAPLUS' ENTERED AT 16:55:38 ON 09 SEP 2004
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

FILE COVERS 1907 - 9 Sep 2004 VOL 141 ISS 11
FILE LAST UPDATED: 8 Sep 2004 (20040908/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s l6
L7 8 L6

=> d l7 ibib abs hitstr tot

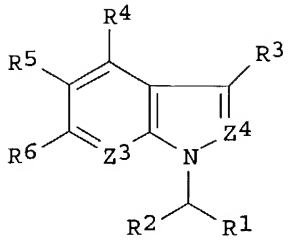
L7 ANSWER 1 OF 8 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2003:931327 CAPLUS
DOCUMENT NUMBER: 140:4959
TITLE: Preparation of indole derivatives as PGD2 receptor antagonists
INVENTOR(S): Tanimoto, Norihiko; Hiramatsu, Yoshiharu; Mitsumori, Susumu; Inagaki, Masanao
PATENT ASSIGNEE(S): Shionogi & Co., Ltd., Japan
SOURCE: PCT Int. Appl., 150 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003097598	A1	20031127	WO 2003-JP6076	20030515
WO 2003097598	C1	20040708		

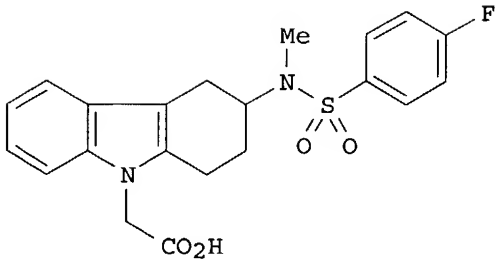
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: JP 2002-142126 A 20020516
OTHER SOURCE(S): MARPAT 140:4959

GI



I



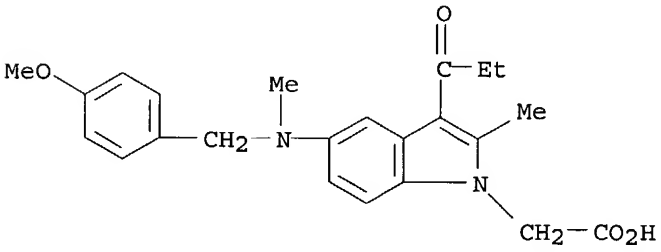
II

AB The title compds. I [wherein Z3 = N or CR7; R4-R7 = independently H, halo, haloalkyl, CO2H, alkoxycarbonyl, (un)substituted alkyl, alkenyl, cycloalkyl, aryl, or aralkyl; R1 = CO2H, alkoxycarbonyl, (un)substituted aminocarbonyl, or tetrazolyl; Z4 = N or CR8; R8 = H, alkyl, or halo; R2 = H or alkyl; R3 = -(CH2)n-N(Y)-SO2-Ar, etc.; n = 1-3; Y = H, alkyl, alkenyl, alkynyl, (un)substituted aryl, aralkyl, heteroarylalkyl, or arylalkenyl; Ar = (un)substituted aryl or heteroaryl] and prodrugs, pharmaceutically acceptable salts, or solvates thereof are prepared as CRTH2 receptor antagonists, and are useful for the treatment of allergic diseases (no data). For example, the compound II was prepared in a multi-step synthesis. II showed IC50 of 0.0036 μM against human CRTH2 receptor. Formulations containing I as an active ingredient were also described.

IT **627869-37-8P 627869-38-9P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of indole derivs. as PGD2 receptor antagonists)

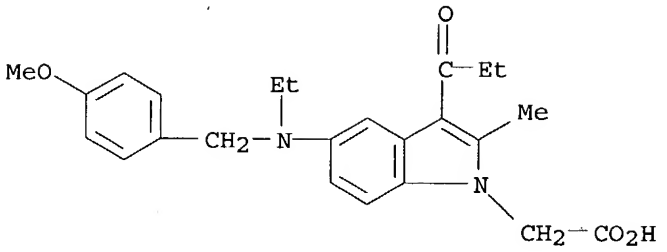
RN 627869-37-8 CAPLUS

CN 1H-Indole-1-acetic acid, 5-[[(4-methoxyphenyl)methyl]methylamino]-2-methyl-3-(1-oxopropyl)- (9CI) (CA INDEX NAME)



RN 627869-38-9 CAPLUS

CN 1H-Indole-1-acetic acid, 5-[ethyl[(4-methoxyphenyl)methyl]amino]-2-methyl-3-(1-oxopropyl)- (9CI) (CA INDEX NAME)



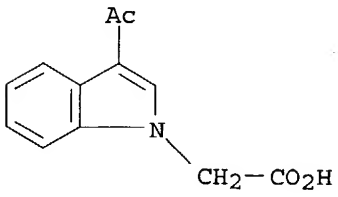
REFERENCE COUNT: 37 THERE ARE 37 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 2 OF 8 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2002:919828 CAPLUS
DOCUMENT NUMBER: 138:238221
TITLE: Novel fluoride ion mediated method for rapid silylation of carboxylic acids with azidotrimethylsilane under phase transfer catalysis conditions
AUTHOR(S): Abele, Edgars; Dzenitis, Olegs; Popelis, Juris; Lukevics, Edmunds
CORPORATE SOURCE: Latvian Institute of Organic Synthesis, Riga, LV-1006, Latvia
SOURCE: Main Group Metal Chemistry (2002), 25(10), 585-587
CODEN: MGMCE8; ISSN: 0792-1241
PUBLISHER: Freund Publishing House Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 138:238221

AB Trimethylsilyl esters of carboxylic acids were prepared by using phase transfer catalyzed (PTC) reaction of RCO2H (R = Ph, 4-O2NC6H4, 2-thienyl, 2- and 4-pyridyl, 2-indolyl, 3-acetylindolylmethyl) with Me3SiN3 in CD2Cl2 or C6H6 containing 0.1 equiv CsF and 0.1 equiv 18-crown-6 in yields up to 100%. E.g., PhCO2SiMe3 was prepared in 100% yield by the above method from BzOH in 0.5 h at room temperature

IT **501682-42-4**
RL: RCT (Reactant); RACT (Reactant or reagent)
(fluoride ion-mediated silylation of carboxylic acids with azidotrimethylsilane under phase transfer catalysis conditions)

RN 501682-42-4 CAPLUS
CN 1H-Indole-1-acetic acid, 3-acetyl- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 41 THERE ARE 41 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

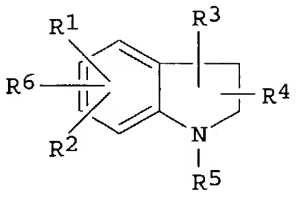
L7 ANSWER 3 OF 8 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1999:566023 CAPLUS

DOCUMENT NUMBER: 131:199618
TITLE: Preparation of indole derivatives as phospholipase enzyme inhibitors
INVENTOR(S): Seehra, Jasbir S.; Kaila, Neelu; McKew, John C.; Lovering, Frank; Bemis, Jean E.; Xiang, Yibin
PATENT ASSIGNEE(S): Genetics Institute, Inc., USA
SOURCE: PCT Int. Appl., 128 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

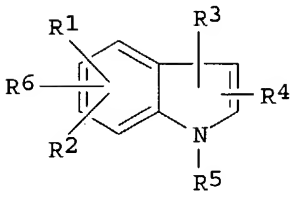
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9943651	A2	19990902	WO 1999-US3899	19990224
WO 9943651	A3	19991216		
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2322161	AA	19990902	CA 1999-2322161	19990224
AU 9927826	A1	19990915	AU 1999-27826	19990224
BR 9908280	A	20001031	BR 1999-8280	19990224
EP 1056719	A2	20001206	EP 1999-908379	19990224
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, FI				
TR 200002446	T2	20001221	TR 2000-200002446	19990224
JP 2002504539	T2	20020212	JP 2000-533409	19990224
EE 200000486	A	20020215	EE 2000-486	19990224
NO 2000004220	A	20001005	NO 2000-4220	20000823
HR 2000000552	A1	20010430	HR 2000-552	20000824
BG 104780	A	20011031	BG 2000-104780	20000919
US 2003153751	A1	20030814	US 2002-75079	20020508

PRIORITY APPLN. INFO.:	US 1998-30062	A	19980225
	US 1998-100426P	P	19980225
	US 1999-256413	B2	19990224
	WO 1999-US3899	W	19990224
	US 2000-677006	B1	20000929

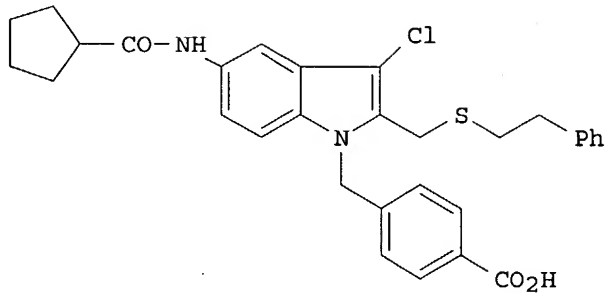
OTHER SOURCE(S): MARPAT 131:199618
GI



I



II



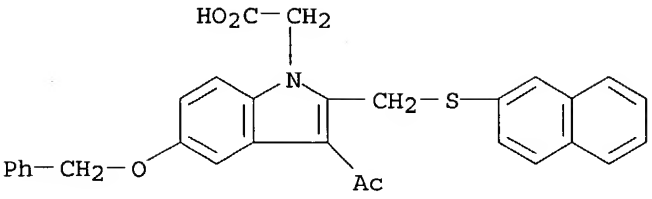
III

AB Indole derivs. (I) and (II) [where R1 and R6 = H, halogen, CF3, OH, C1-10 alkyl, S-C1-10 alkyl, C1-10 alkoxy, CN, NO2, Ph, OPh, SPh, CH2Ph, OCH2Ph, SCH2Ph, or (un)substituted amino, amido, carbamido, sulfonyl, etc.; R2 = H, halogen, CF3, OH, C1-10 alkyl, C1-10 alkoxy, CHO, CN, NO2, (un)substituted amino, SO2-C1-6 alkyl; R3 = H, CF3, C1-6 alkyl, C1-6 alkoxy, (C1-6 alkyl)cycloalkyl, etc.; R4 = C1-6 alkyl, C1-6 alkoxy, alkylcycloalkyl, acyl, etc.; R5 = (un)substituted carboxylic acid, OPO3H2, SO3H, etc.] and pharmaceutically acceptable salts thereof, were prepared by several methods. Thus, Et 5-nitroindole-2-carboxylate was C3-chlorinated in DMF. The alc. was formed by reduction of the ester in a two-step process and was then TBDMS-protected. The TBDMS-protected alc. was N-alkylated with Me 4-(bromomethyl)benzoate, the nitro group reduced to the amine over Pt/C, and the compound reacted with cyclopentylcarbonyl chloride to form the amide. The amide was treated with with Ph3PBr2 in CH2Cl2 to convert the protected alc. to the bromide and then reacted with phenethyl mercaptan in the presence of Cs2CO3 followed by NaOH to yield 4-({3-chloro-5-[(cyclopentylcarbonyl)amino]-2-[(phenethylsulfanyl)methyl]-1H-indol-1-yl}methyl)benzoic acid (III). The title compds. are useful as phospholipase enzyme inhibitors, especially cytosolic phospholipase A2 (cPLA2), for treatment of inflammatory conditions, particularly where inhibition of production of prostaglandins, leukotrienes, and PAF are all desired (no data).

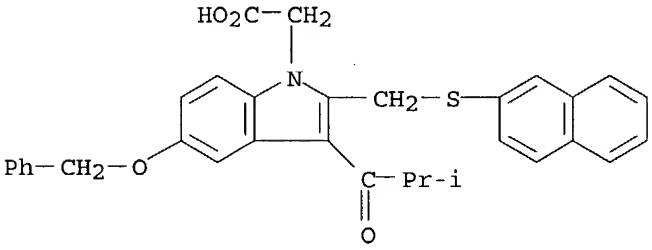
IT **241493-16-3P 241493-17-4P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of indole derivs. as phospholipase enzyme inhibitors for treatment of inflammatory conditions)

RN 241493-16-3 CAPLUS

CN 1H-Indole-1-acetic acid, 3-acetyl-2-[(2-naphthalenylthio)methyl]-5-(phenylmethoxy)- (9CI) (CA INDEX NAME)



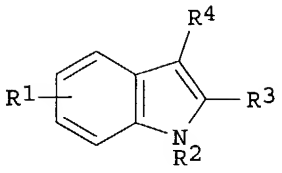
RN 241493-17-4 CAPLUS
CN 1H-Indole-1-acetic acid, 3-(2-methyl-1-oxopropyl)-2-[(2-naphthalenylthio)methyl]-5-(phenylmethoxy)- (9CI) (CA INDEX NAME)



L7 ANSWER 4 OF 8 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1999:375527 CAPLUS
DOCUMENT NUMBER: 131:31874
TITLE: Preparation of amidinophenylpropionylindoles and related compounds as thrombin inhibitors.
INVENTOR(S): Heckel, Armin; Walter, Rainer; Soyka, Rainer; Stassen, Jean-Marie; Wienen, Wolfgang; Binder, Klaus
PATENT ASSIGNEE(S): Boehringer Ingelheim Pharma KG, Germany
SOURCE: PCT Int. Appl., 173 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9928297	A1	19990610	WO 1998-EP7661	19981127
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, HR, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
DE 19753522	A1	19990610	DE 1997-19753522	19971203
AU 9922671	A1	19990616	AU 1999-22671	19981127
PRIORITY APPLN. INFO.:			DE 1997-19753522	19971203
			WO 1998-EP7661	19981127

OTHER SOURCE(S): MARPAT 131:31874
GI



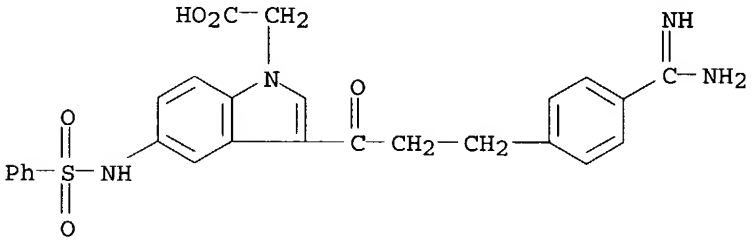
I

AB Title compds. [I; R1 = F, Cl, Br, CO2H, aminocarbonyl, aminosulfonyl, amino, group convertible to CO2H in vivo; 1 of R2, R4 = (CO2H- or group convertible to CO2H in vivo-substituted) alkyl, the other = R5A; A = (CO2H- or group convertible to CO2H in vivo-substituted) alkylene, etc.; R5 = R6NHC(:NH)-substituted Ph; R4 = H, alkyl; R6 = H, in vivo-cleavable group], were prepared as antithrombotics with inhibitory activity against serine proteases XII and fibrinogen receptors. Thus, 3-[3-(4-amidinophenyl)propionyl]-1-methylindole-5-carboxylic acid N-(2-carboxyethyl)-N-phenylamide hydrochloride (preparation given) showed a thrombin time ED200 = 0.80 μM.

IT **226900-25-0P 226900-33-0P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of amidinophenylpropionylindoles and related compds. as thrombin inhibitors)

RN 226900-25-0 CAPLUS

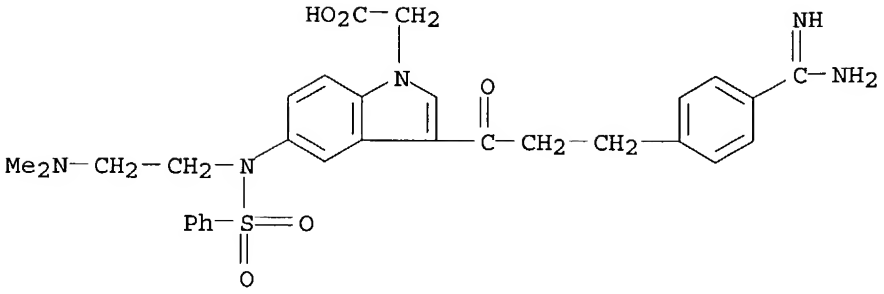
CN 1H-Indole-1-acetic acid, 3-[3-[4-(aminoiminomethyl)phenyl]-1-oxopropyl]-5-[(phenylsulfonyl)amino]-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 226900-33-0 CAPLUS

CN 1H-Indole-1-acetic acid, 3-[3-[4-(aminoiminomethyl)phenyl]-1-oxopropyl]-5-[[2-(dimethylamino)ethyl](phenylsulfonyl)amino]-, dihydrochloride (9CI) (CA INDEX NAME)



●2 HCl

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 5 OF 8 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1997:483378 CAPLUS
DOCUMENT NUMBER: 127:90133
TITLE: Synthesis, Biological Evaluation, and Structure-Activity Relationships of 3-Acylindole-2-carboxylic Acids as Inhibitors of the Cytosolic Phospholipase A2
AUTHOR(S): Lehr, Matthias
CORPORATE SOURCE: Institute of Pharmacy and Food Chemistry, Ludwig-Maximilians-University, Munich, D-80333, Germany
SOURCE: Journal of Medicinal Chemistry (1997), 40(17), 2694-2705
CODEN: JMCMAR; ISSN: 0022-2623
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English

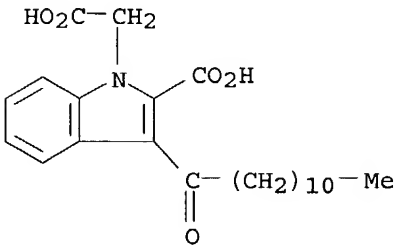
AB 3-Acylindole-2-carboxylic acid derivs. were prepared and evaluated for their ability to inhibit the cytosolic phospholipase A2 of intact bovine platelets. To define the structural requirements for enzyme inhibition, the carboxylic acid group, the acyl residue, and the moiety in position 1 were systematically modified. Furthermore, different substituents were introduced into the Ph part of the indole. Replacement of the carboxylic acid group in position 2 of the indole with an acetic or propionic acid substituent led to a decrease of inhibitory potency. Enzyme inhibition was optimal when the acyl residue in position 3 had a length of 12 or more carbons. Conformational restriction of the acyl residue did not influence activity. Introduction of alkyl chains at position 1 of the indole with 8 or more carbons resulted in a loss of activity. However, replacing the ω-Me group of such compds. with a carboxylic acid moiety increased inhibitory potency significantly. Among the tested indole derivs., 1-[2-(4-carboxyphenoxy)ethyl]-3-dodecanoylindole-2-carboxylic acid had the highest potency. With an IC50 of 0.5 μM it was about 20-fold more active than the standard cPLA2 inhibitor arachidonyl trifluoromethyl ketone (IC50: 11 μM).

IT **192182-21-1P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(preparation and structure-activity relationships of acylindolecarboxylates as inhibitors of phospholipase A2)

RN 192182-21-1 CAPLUS

CN 1H-Indole-1-acetic acid, 2-carboxy-3-(1-oxododecyl)- (9CI) (CA INDEX NAME)



L7 ANSWER 6 OF 8 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1981:400230 CAPLUS

DOCUMENT NUMBER: 95:230

TITLE: Autocorrelation of molecular structures. Application to SAR studies

AUTHOR(S): Moreau, Gilles; Broto, Pierre

CORPORATE SOURCE: Dep. Phys., Roussel Uclaf, Romainville, 93230, Fr.

SOURCE: Nouveau Journal de Chimie (1980), 4(12), 757-64
CODEN: NJCHD4; ISSN: 0398-9836

DOCUMENT TYPE: Journal

LANGUAGE: English

AB A new mol. descriptor, the autocorrelation of topol. structure, is used in a structure-activity relation to predict analgesic activity of 309 glafenine derivs. and isoindomethacine analogs. Using learning machine techniques the prediction of analgesic activity is shown to be in agreement with exptl. observed activity.

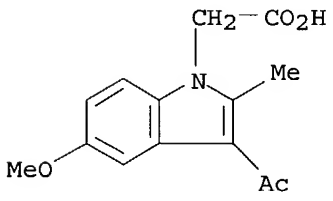
IT **57329-82-5 57329-83-6 57329-84-7**

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(analgesic activity of, autocorrelation of topol. structure in relation to)

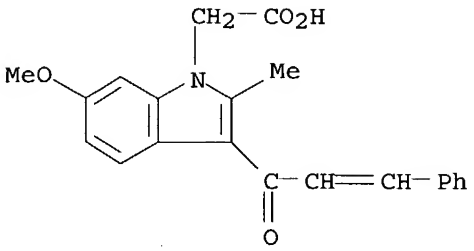
RN 57329-82-5 CAPLUS

CN 1H-Indole-1-acetic acid, 3-acetyl-5-methoxy-2-methyl- (9CI) (CA INDEX NAME)

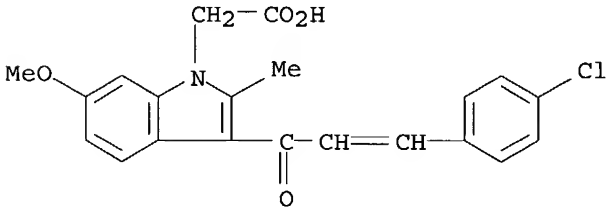


RN 57329-83-6 CAPLUS

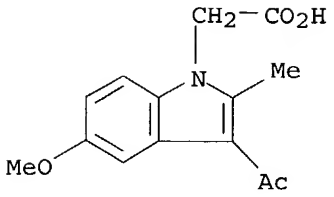
CN 1H-Indole-1-acetic acid, 6-methoxy-2-methyl-3-(1-oxo-3-phenyl-2-propenyl)- (9CI) (CA INDEX NAME)



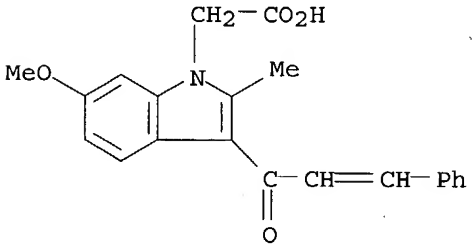
RN 57329-84-7 CAPLUS
CN 1H-Indole-1-acetic acid, 3-[3-(4-chlorophenyl)-1-oxo-2-propenyl]-6-methoxy-2-methyl- (9CI) (CA INDEX NAME)



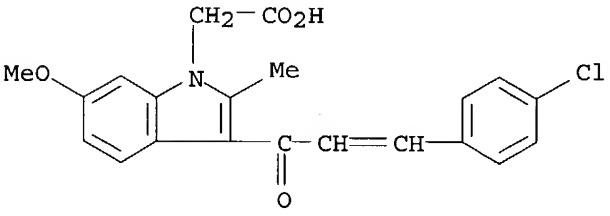
L7 ANSWER 7 OF 8 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1975:531402 CAPLUS
DOCUMENT NUMBER: 83:131402
TITLE: Nonnarcotic analgetic and antiinflammatory agents. 1-Carboxyalkyl-3-acylindoles
AUTHOR(S): Allais, Andre; Meier, Jean; Mathieu, Jean; Nomine, Gerard; Peterfalvi, Michel; Deraedt, Roger; Chifflot, Louise; Benzoni, Josette; Fournex, Robert
CORPORATE SOURCE: Cent. Rech., Roussel-Uclaf, Romainville, Fr.
SOURCE: European Journal of Medicinal Chemistry (1975), 10(2), 187-99
CODEN: EJMCA5; ISSN: 0223-5234
DOCUMENT TYPE: Journal
LANGUAGE: French
GI For diagram(s), see printed CA Issue.
AB Analgesic and antiinflammatory indoleacetic acids I (R = Ph, substituted phenyl, Me, cyclohexyl, CH:CHPh, CH:CHC6H4Cl-4, 2-furyl, 3-pyridyl, 4-pyridyl; R1 = H, 5-alkoxy, 6-alkoxy, 6-SMe, 5-halo, 6-halo, 6-SO2Me, 6-NO2, 6-NH2) (47 compds.) as well as some amides and other derivs. were prepared, e.g. by hydrolyzing the esters, prepared by treating 3-acylindoles with haloacetate. I (R = 4-ClC6H4, R1 = 6-OMe) had an analgesic ED50 of 5 mg/kg orally in mice and an antiinflammatory ED40 of 35 mg/kg orally in rats.
IT **57329-82-5P 57329-83-6P 57329-84-7P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and antiinflammatory and analgesic activity of)
RN 57329-82-5 CAPLUS
CN 1H-Indole-1-acetic acid, 3-acetyl-5-methoxy-2-methyl- (9CI) (CA INDEX NAME)



RN 57329-83-6 CAPLUS
CN 1H-Indole-1-acetic acid, 6-methoxy-2-methyl-3-(1-oxo-3-phenyl-2-propenyl)-(9CI) (CA INDEX NAME)



RN 57329-84-7 CAPLUS
CN 1H-Indole-1-acetic acid, 3-[3-(4-chlorophenyl)-1-oxo-2-propenyl]-6-methoxy-2-methyl- (9CI) (CA INDEX NAME)



L7 ANSWER 8 OF 8 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1970:66807 CAPLUS
DOCUMENT NUMBER: 72:66807
TITLE: 1-(Carboxyalkyl)indoles
INVENTOR(S): Bell, Malcolm Rie
PATENT ASSIGNEE(S): Sterling Drug Inc.
SOURCE: Ger. Offen., 110 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 1908541	A	19690918	DE 1969-1908541	19690220
US 3557142	A	19710119	US 1968-706802	19680220
GB 1206915	A	19700930	GB 1969-1206915	19690212

JP 48043740	B4	19731220	JP 1969-12483	19690219
BE 728675	A	19690820	BE 1969-728675	19690220
NL 6902641	A	19690822	NL 1969-2641	19690220
FR 2002284	A5	19691017	FR 1969-4336	19690220
FR 2002284	B1	19730713		
CH 507238	A	19710515	CH 1969-507238	19690220
SE 350259	B	19721023	SE 1969-2380	19690220
BR 6906477	A0	19730116	BR 1969-206477	19690220
US 3843683	A	19741022	US 1971-201142	19711122
PRIORITY APPLN. INFO.:			US 1968-706802	19680220
			GB 1969-7719	19691229
			US 1970-9945	19700209

GI For diagram(s), see printed CA Issue.

AB 1-Carboxyalkylindoles (I), with antiinflammatory activity, are prepared by reaction of indoles with XACO2R2, where X is a halogen, in inert solvents in the presence of a base. Thus, a solution of 50 g indole in 300 ml Et2O was added to 160 ml 3M EtMgBr diluted with 100 ml Et2O, 60 g BzCl in 90 ml Et2O was added and the mixture refluxed 2.5 hr to give 50 g 3-benzoylindole, m. 237-9°. This (20 g) and 5.1 g 52% NaH suspension in mineral oil was treated in 250 ml HCONMe2 with 17.9 g BrCH2CO2Et, to give 30.2 g I (A = CH2, R = Et, R1 = H, R2 = H, R3 = Bz), which was refluxed with alc. NaOH to yield 18.1 g I (A = CH2, R = H, R1 = H, R2 = H, R3 = Bz), m. 216-18°. The indoles are prepared by condensation of phenylhydrazines with ketones. Thus, 54 g PhNHNH2 and 50 g pinacoline in 300 ml benzene was refluxed 7 hr while H2O was distilled, and the mixture heated with 400 g ZnCl2 to give 2-tert-butylindole, b0.05 85-95°, m. 65-9°. The following I were prepared (A, R, R1, R2, R3, and m.p. given): (ACO2R =) H, H, Me, Bz, 183-4°; CH2, Et, H, Me, Bz, -(oil); CH2, H, H, Me, Bz, 211-12°; (CH2)2, Et, H, Me, Bz, -(oil); (CH2)2, H, H, Me, Bz, 205-7°; (ACO2R =) H, H, H, 4-ClC6H4CO, 180-200°; CH2, Et, H, H, 4-ClC6H4CO, -; CH2, H, H, H, 4-ClC6H4CO, 235-6°; (ACO2R =) H, H, Me, 4-ClC6H4CO, 181-3°; CH2, Et, H, Me, 4-ClC6H4CO, 145-6°; CH2, H, H, Me, 4-ClC6H4CO, 233-6°; (CH2)2, Et, H, Me, 4-ClC6H4CO, -(oil); (CH2)2, H, H, Me, 4-ClC6H4CO, 224-7° (decomposition); (ACO2R =) H, H, Me, 3,4-Cl2C6H3CO, 229-30°; CH2, Et, H, Me, 3,4-Cl2C6H3CO, -(oil); CH2, H, H, Me, 3,4-Cl2C6H3CO, 212-14°; (ACO2R =) H, H, Me, 4-MeC6H4CO, 202-4.5°; CH2, Et, H, Me, 4-MeC6H4CO, -; CH2, H, H, Me, 4-MeC6H4CO, 226-9.5° (decomposition); (ACO2R =) H, H, Me, 4-MeOC6H4CO, -; CH2, Et, H, Me, 4-MeOC6H4CO, -(oil); CH2, H, H, Me, 4-MeOC6H4CO, 208-10°; (ACO2R =) H, H, Me, 4-CF3C6H4CO, 195-7°; CH2, Et, H, Me, 4-CF3C6H4CO, 128-32°; CH2, H, H, Me, 4-CF3C6H4CO, 228-31°; (CH2)2, Et, H, H, Bz, -(oil); (CH2)2, H, H, H, Bz, 190-3°; (ACO2R =) H, H, Me, PhCH:CHCO, 153.5-6.5° (166-8°); CH2, Et, H, Me, PhCH:CHCO, 110-12°; CH2, H, H, Me, Ph-CH:CHCO, 220-5°; (CH2)2, Et, H, Me, PhCH:CHCO, -(gum); (CH2)2, H, H, Me, PhCH:CHCO, 164-6° (190-1°); (ACO2R =) H, 5,6-(MeO)2, Me, Bz, 210-12°; CH2, Et, 5,6-(MeO)2, Me, Bz, -; CH2, H, 5,6-(MeO)2, Me, Bz, 138-40° (189-91°); (CH2)2, Et, 5,6-(MeO)2, Me, Bz, -(gum); (CH2)2, H, 5,6-(MeO)2, Me, Bz, 198-201°; (CH2)2, Et, H, Me, 4-MeC6-H4CO, -(gum); (CH2)2, H, H, Me, 4-MeC6H4CO, 210.5-13°; (ACO2R =) H, 5,6-(MeO)2, Me, 4-ClC6H4CO, 223.5-5.5°; (CH2)2, Et, 5,6-(MeO)2, Me, 4-ClC6H4CO, -; (CH2)2, H, 5,6-(MeO)2, Me, 4-ClC6H4CO, 174-6.5°; CH2, Et, 5,6-(MeO)2, Me, 4-ClC6H4CO, -; CH2, H, 5,6-(MeO)2, Me, 4-ClC6H4CO, 157-9°; (ACO2R =) H, H, Me, 2,6-(MeO)2C6H3CO, 199-200°; CH2, Et, H, Me, 2,6-(MeO)2C6H3CO, -; CH2, H, H, Me, 2,6-(MeO)2C6H3CO, 250° (decomposition); (CH2)2, Et, H, Me, 2,6-(MeO)2C6H3CO, -; (CH2)2, H, H, Me, 2,6-(MeO)2C6H3CO, 195-7°; (ACO2R =) H, H, Me, 4-O2NC6H4CO, 230-2°; CH2, Et, H, Me, 4-O2NC6H4CO, 156-8.5°; CH2, H, H, Me, 4-O2NC6-H4CO, -; MeCH,

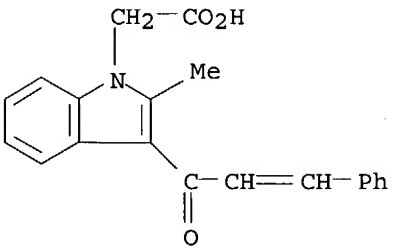
H, H, Me, Bz, 225-7°; MeCH, H, H, Me, 4-ClC6H4CO, 116°; (CH2)2, H, H, Me, 4-MeOC6H4CO, 177-8.5°; (CH2)2, Et, 5,6-(MeO)2, Me, 4-ClC6H4CO, -(gum); (CH2)2, H, 5,6-(MeO)2, Me, 4-ClC6H4CO, 193.5-5.5°; (ACO2R =) H, 5-F, Me, 4-ClC6H4CO, 231-3°; CH2, H, 5-F, Me, 4-ClC6H4CO, -; (CH2)2, H, 5-F, Me, 4-ClC6H4CO, 205-7°; (ACO2R =) H, 5-F, Me, Bz, 232-4°; CH2, H, 5-F, Me, Bz, 253-5°; (CH2)2, H, 5-F, Me, Bz, 228-30°; (ACO2R =) H, H, Me, 2,6-Cl2C6H3CO, 232-4°; CH2, H, H, Me, 2,6-Cl2C6-H3CO, 242-3°; (CH2)2, H, H, Me, 2,6-Cl2C6H3CO, 194-6°; CH2MeCH, H, H, $"°; CH2, H, H, Me, 2-thenoyl, 227-9°; MeCH, H, H, Me, 2-thenoyl, 185-9°; (CH2)2,H, H, Me, 2-thenoyl, 169-71°; CH2, Et, H, Me, 3-O2NC6H4CO, 155-8°; CH2, Et, H, Me, 4-H2NC6H4CO, 85-8.5°; CH2, H, H, Me, 4-H2NC6H4CO, -; (ACO2R =) H, H, tert-Bu, Bz, 215-20°; (CH2)2, H, H, Me, 4-O2NC6H4CO, 244-6°; (CH2)2, H, H, Me, 4-H2NC6H4CO, 228-31°; (CH2)2, H, H, Me, 4-Me2NC6H4CO, 169-71.5°; (CH2)2, H, H, Me, 4-tert-BuC6H4CO, 165.5-68°; (CH2)2, H, 5-Me, ,me, Bz, 212-14°; CH2, Et, H, Me, Ph, -(oil); CH2, H, H, Me, Ph, 159-67°; CH2, Et, H, Me, 4-ClC6H4, -(oil); CH2, H, H, Me, 4-ClC6H4, 188-202° (decomposition); (CH2)2, Et, H, Me, Ph, -(oil); (CH2)2, H, H, Me, Ph, 135-7.5°; (CH2)2, Et, H, Me, 4-ClC6H4, -; (CH2)2, H, H, Me, 4-ClC6H4, 143.5-5.5°; CH2, Et, H, Me, 4-ClC6H4CH2, -(oil); CH2, H, H, Me, 4-ClC6H4CH2, 202-5°; (CH2)2, Na, H, Me, Bz, -; (CH2)2, H, H, Me, 4-AcNHC6H4CO, 215-18°; (CH2)3, H, H, Me, Bz, 151-3°; (CH2)2, H, H, Me, 3,4,5-(MeO)3C6H2CO, 174-6°; (ACO2R =) H, 4-Me, Me, Bz, 174-5°; (CH2)2, H, 4-Me, Me, Bz, 187-8°; (ACO2R =) H, H, Me, 3,4-Me2C6H3CO, 204-7°; (CH2)2, H, H, Me, 3,4-Me2C6-H3CO, 182-5°; (ACO2R =) H, H, Me, 3,5-Me2C6H3CO, 256-8°; (CH2)2, H, H, Me, 3,5-Me2C6H3CO, 152-4°; (ACO2R =) H, H, Me, 3,4-FMeC6H3CO, 209-10.5°; (CH2)2, H, H, Me, 3,4-FMeC6H3CO, 193-6°; (ACO2R =) H, H, Me, 4-FC6H4CO, -; (CH2)2, H, H, Me, 4-FC6H4CO, 215-19°; (ACO2R =) H, H, Me, 3-FC6H4CO, -; (CH2)2, H, H, Me, 3-FC6H4CO, 179-81.5°; (ACO2R =) H, H, Me, 2,4,6-Me3C6H2CO, 261-8°; (CH2)2, H, H, Me, 2,4,6-Me3C6H2CO, 150-2.5°; (ACO2R =) H, H, Me, 4,3-Me(MeO)C6H3CO, -; (CH2)2, H, H, Me, 4,3-Me(MeO)-C6H3CO, 173-5°; (ACO2R =) H, H, Me, 4-EtC6H4CO, -; (CH2)2, H, H, Me, 4-EtC6H4CO, 174-7°; (ACO2R =) H, H, Me, C6H11CO (C6H11 = cyclohexyl), -; (CH2)2, H, H, Me, C6H11CO, 163-5°; (ACO2R =) H, H, Me, 3-MeC6H4CO, -; (CH2)2, H, H, Me, 3-MeC6H4CO, 170-3°; (ACO2R =) H, H, Me, 3,4-(MeO)2C6H3CO, -; (CH2)2, H, H, Me, 3,4-(MeO)2-C6H3CO, 143-5.5°; (ACO2R =) H, H, Me, adamantanecarbonyl, 155-8°; (CH2)2, H, H, Me, adamantanecarbonyl, 169-71°; (ACO2R =) H, H, Me, 4-PhC6H4CO, 222-4°; (CH2)2, H, H, Me, 4-PhC6H4CO, 171.5-74°; (ACO2R =) H, H, Me, C5H9CO (C5H9 = cyclopentyl), -; (CH2)2, H, H, Me, C5H9CO, 138-40.5°; (ACO2R =) H, H, Me, 2,4-(MeO)2C6H3CO, -; (CH2)2, H, H, Me, 2,4-(MeO)2C6H3CO, 194-6.5°; (ACO2R =) H, 5-Me, Me, 4-MeC6H4CO, 231-2°; (CH2)2, H, 5-Me, Me, 4-MeC6H4CO, 215-16°; (ACO2R =) H, H, Me, 4-iso-PrC6H4CO, -; (CH2)2, H, H, Me, 4-iso-PrC6H4CO, 174.5-6.5°; (ACO2R =) H, 4-Me, Me, 4-MeOC6H4CO, 76-7°; and (CH2)2, H, 4-Me, Me, 4-MeOC6H4CO, 179-80°.

IT **26212-00-0P**

RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 26212-00-0 CAPLUS

CN Indole-1-acetic acid, 3-cinnamoyl-2-methyl- (8CI) (CA INDEX NAME)



=> FIL REGISTRY

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	40.72	352.19

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	-5.60	-5.60

FILE 'REGISTRY' ENTERED AT 16:59:07 ON 09 SEP 2004

Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 8 SEP 2004 HIGHEST RN 741635-85-8
DICTIONARY FILE UPDATES: 8 SEP 2004 HIGHEST RN 741635-85-8

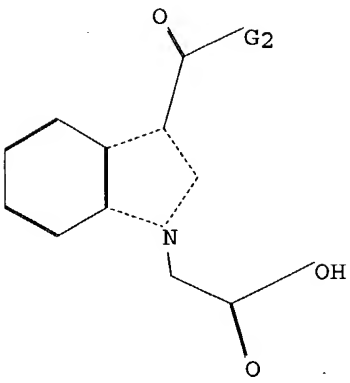
TSCA INFORMATION NOW CURRENT THROUGH MAY 21, 2004

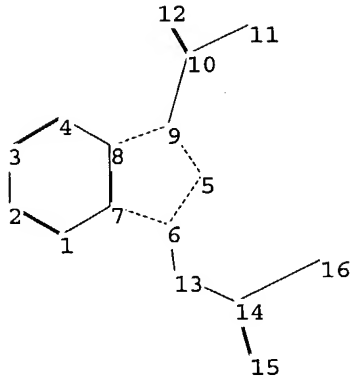
Please note that search-term pricing does apply when conducting SmartSELECT searches.

Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. For more information enter HELP PROP at an arrow prompt in the file or refer to the file summary sheet on the web at:
http://www.cas.org/ONLINE/DBSS/registryss.html

=>
Uploading C:\Program Files\Stnexp\Queries\10731723b.str





chain nodes :
10 11 12 13 14 15 16
ring nodes :
1 2 3 4 5 6 7 8 9
chain bonds :
6-13 9-10 10-11 10-12 13-14 14-15 14-16
ring bonds :
1-2 1-7 2-3 3-4 4-8 5-6 5-9 6-7 7-8 8-9
exact/norm bonds :
5-6 5-9 6-7 6-13 8-9 10-11 10-12
exact bonds :
9-10 13-14
normalized bonds :
1-2 1-7 2-3 3-4 4-8 7-8 14-15 14-16
isolated ring systems :
containing 1 :

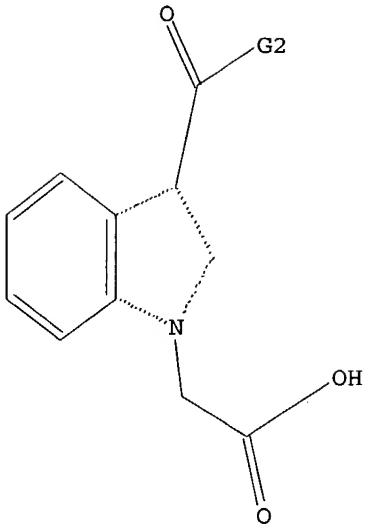
G1:Cb,Cy,Hy,Ak

G2:Cb,Cy,Hy,Ak

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:CLASS
11:CLASS 12:CLASS 13:CLASS 14:CLASS 15:CLASS 16:CLASS

L8 STRUCTURE UPLOADED

=> d l8
L8 HAS NO ANSWERS
L8 STR



G1 Cb,Cy,Hy,Ak
G2 Cb,Cy,Hy,Ak

Structure attributes must be viewed using STN Express query preparation.

```
=> s l8
SAMPLE SEARCH INITIATED 16:59:28 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED -     120 TO ITERATE

100.0% PROCESSED      120 ITERATIONS                                5 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS:  ONLINE  **COMPLETE**
                        BATCH   **COMPLETE**
PROJECTED ITERATIONS:          1743 TO     3057
PROJECTED ANSWERS:                5 TO      234

L9             5 SEA SSS SAM L8

=> s l8 sss full
FULL SEARCH INITIATED 16:59:34 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED -   2084 TO ITERATE

100.0% PROCESSED     2084 ITERATIONS                              112 ANSWERS
SEARCH TIME: 00.00.01

L10          112 SEA SSS FUL L8

=> FIL CAPLUS
COST IN U.S. DOLLARS                          SINCE FILE      TOTAL
                                                 ENTRY    SESSION
FULL ESTIMATED COST                              155.42     507.61

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)    SINCE FILE      TOTAL
                                                 ENTRY    SESSION
CA SUBSCRIBER PRICE                                0.00      -5.60
```

```
FILE 'CAPLUS' ENTERED AT 16:59:39 ON 09 SEP 2004
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.
COPYRIGHT (C) 2004 AMERICAN CHEMICAL SOCIETY (ACS)
```



```
FILE COVERS 1907 - 9 Sep 2004  VOL 141 ISS 11
FILE LAST UPDATED: 8 Sep 2004  (20040908/ED)

  This file contains CAS Registry Numbers for easy and accurate
  substance identification.


=> s l10
L11          69 L10

=> s l10 and py<=2002
             69 L10
       22508927 PY<=2002
L12          59 L10 AND PY<=2002

=> s l12 and thu
            141 THU
        2179329 THUS
        2179455 THU
                  (THU OR THUS)
L13          16 L12 AND THU

=> d his

     (FILE 'HOME' ENTERED AT 16:53:30 ON 09 SEP 2004)

     FILE 'REGISTRY' ENTERED AT 16:53:41 ON 09 SEP 2004
L1                STRUCTURE UPLOADED
L2              0 S L1
L3              0 S L1 SSS FULL
L4                STRUCTURE UPLOADED
L5              1 S L4
L6             17 S L4 SSS FULL

     FILE 'CAPLUS' ENTERED AT 16:55:38 ON 09 SEP 2004
L7              8 S L6

     FILE 'REGISTRY' ENTERED AT 16:59:07 ON 09 SEP 2004
L8                STRUCTURE UPLOADED
L9              5 S L8
L10           112 S L8 SSS FULL

     FILE 'CAPLUS' ENTERED AT 16:59:39 ON 09 SEP 2004
```

L11 69 S L10
L12 59 S L10 AND PY<=2002
L13 16 S L12 AND THU

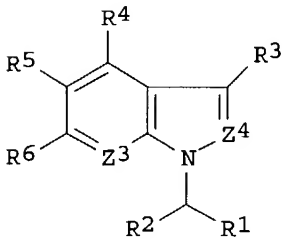
=> d l7 ibib abs hitstr tot

L7 ANSWER 1 OF 8 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2003:931327 CAPLUS
DOCUMENT NUMBER: 140:4959
TITLE: Preparation of indole derivatives as PGD2 receptor antagonists
INVENTOR(S): Tanimoto, Norihiko; Hiramatsu, Yoshiharu; Mitsumori, Susumu; Inagaki, Masanao
PATENT ASSIGNEE(S): Shionogi & Co., Ltd., Japan
SOURCE: PCT Int. Appl., 150 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

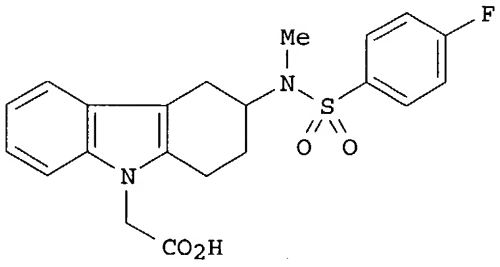
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003097598	A1	20031127	WO 2003-JP6076	20030515
WO 2003097598	C1	20040708		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: JP 2002-142126 A 20020516
OTHER SOURCE(S): MARPAT 140:4959
GI



I



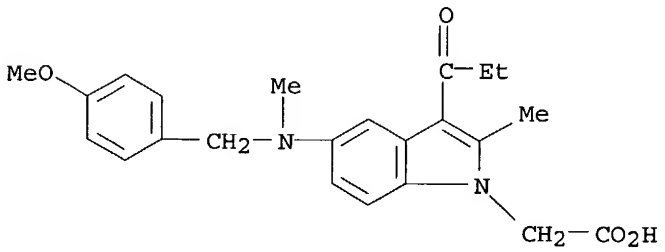
II

AB The title compds. I [wherein Z3 = N or CR7; R4-R7 = independently H, halo, haloalkyl, CO2H, alkoxycarbonyl, (un)substituted alkyl, alkenyl, cycloalkyl, aryl, or aralkyl; R1 = CO2H, alkoxycarbonyl, (un)substituted aminocarbonyl, or tetrazolyl; Z4 = N or CR8; R8 = H, alkyl, or halo; R2 =

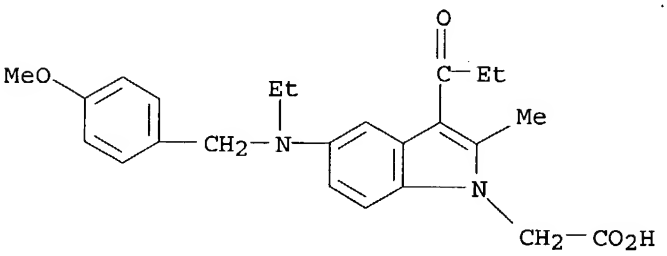
H or alkyl; R3 = -(CH2)n-N(Y)-SO2-Ar, etc.; n = 1-3; Y = H, alkyl, alkenyl, alkynyl, (un)substituted aryl, aralkyl, heteroarylalkyl, or arylalkenyl; Ar = (un)substituted aryl or heteroaryl] and prodrugs, pharmaceutically acceptable salts, or solvates thereof are prepared as CRTH2 receptor antagonists, and are useful for the treatment of allergic diseases (no data). For example, the compound II was prepared in a multi-step synthesis. II showed IC50 of 0.0036 μM against human CRTH2 receptor. Formulations containing I as an active ingredient were also described.

IT **627869-37-8P 627869-38-9P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of indole derivs. as PGD2 receptor antagonists)

RN 627869-37-8 CAPLUS
CN 1H-Indole-1-acetic acid, 5-[[(4-methoxyphenyl)methyl]methylamino]-2-methyl-3-(1-oxopropyl)- (9CI) (CA INDEX NAME)



RN 627869-38-9 CAPLUS
CN 1H-Indole-1-acetic acid, 5-[ethyl[(4-methoxyphenyl)methyl]amino]-2-methyl-3-(1-oxopropyl)- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 37 THERE ARE 37 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

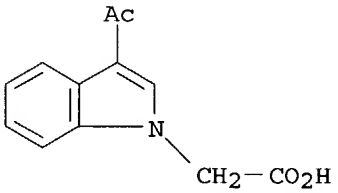
L7 ANSWER 2 OF 8 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2002:919828 CAPLUS
DOCUMENT NUMBER: 138:238221
TITLE: Novel fluoride ion mediated method for rapid silylation of carboxylic acids with azidotrimethylsilane under phase transfer catalysis conditions
AUTHOR(S): Abele, Edgars; Dzenitis, Olegs; Popelis, Juris; Lukevics, Edmunds
CORPORATE SOURCE: Latvian Institute of Organic Synthesis, Riga, LV-1006, Latvia
SOURCE: Main Group Metal Chemistry (2002), 25(10), 585-587

CODEN: MGMCE8; ISSN: 0792-1241
PUBLISHER: Freund Publishing House Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 138:238221

AB Trimethylsilyl esters of carboxylic acids were prepared by using phase transfer catalyzed (PTC) reaction of RCO2H (R = Ph, 4-O2NC6H4, 2-thienyl, 2- and 4-pyridyl, 2-indolyl, 3-acetylindolylmethyl) with Me3SiN3 in CD2Cl2 or C6H6 containing 0.1 equiv CsF and 0.1 equiv 18-crown-6 in yields up to 100%. E.g., PhCO2SiMe3 was prepared in 100% yield by the above method from BzOH in 0.5 h at room temperature

IT **501682-42-4**
RL: RCT (Reactant); RACT (Reactant or reagent)
(fluoride ion-mediated silylation of carboxylic acids with azidotrimethylsilane under phase transfer catalysis conditions)

RN 501682-42-4 CAPLUS
CN 1H-Indole-1-acetic acid, 3-acetyl- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 41 THERE ARE 41 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

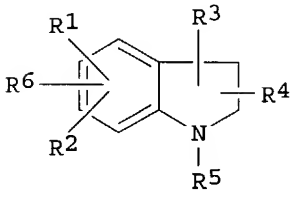
L7 ANSWER 3 OF 8 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1999:566023 CAPLUS
DOCUMENT NUMBER: 131:199618
TITLE: Preparation of indole derivatives as phospholipase enzyme inhibitors
INVENTOR(S): Seehra, Jasbir S.; Kaila, Neelu; McKew, John C.; Lovering, Frank; Bemis, Jean E.; Xiang, Yibin
PATENT ASSIGNEE(S): Genetics Institute, Inc., USA
SOURCE: PCT Int. Appl., 128 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9943651	A2	19990902	WO 1999-US3899	19990224
WO 9943651	A3	19991216		
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2322161	AA	19990902	CA 1999-2322161	19990224
AU 9927826	A1	19990915	AU 1999-27826	19990224

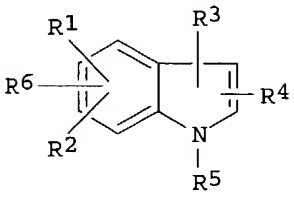
BR 9908280	A	20001031	BR 1999-8280		19990224
EP 1056719	A2	20001206	EP 1999-908379		19990224
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, FI					
TR 200002446	T2	20001221	TR 2000-200002446		19990224
JP 2002504539	T2	20020212	JP 2000-533409		19990224
EE 200000486	A	20020215	EE 2000-486		19990224
NO 2000004220	A	20001005	NO 2000-4220		20000823
HR 2000000552	A1	20010430	HR 2000-552		20000824
BG 104780	A	20011031	BG 2000-104780		20000919
US 2003153751	A1	20030814	US 2002-75079		20020508
PRIORITY APPLN. INFO.:			US 1998-30062	A	19980225
			US 1998-100426P	P	19980225
			US 1999-256413	B2	19990224
			WO 1999-US3899	W	19990224
			US 2000-677006	B1	20000929

OTHER SOURCE(S): MARPAT 131:199618

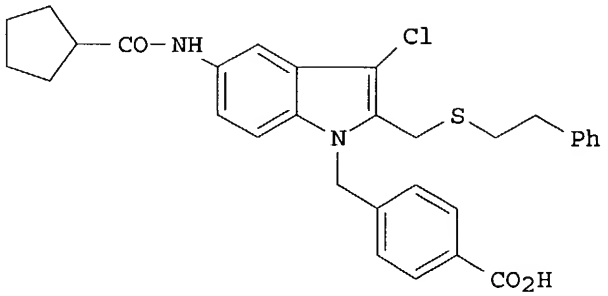
GI



I



II



III

AB Indole derivs. (I) and (II) [where R1 and R6 = H, halogen, CF3, OH, C1-10 alkyl, S-C1-10 alkyl, C1-10 alkoxy, CN, NO2, Ph, OPh, SPh, CH2Ph, OCH2Ph, SCH2Ph, or (un)substituted amino, amido, carbamido, sulfonyl, etc.; R2 = H, halogen, CF3, OH, C1-10 alkyl, C1-10 alkoxy, CHO, CN, NO2, (un)substituted amino, SO2-C1-6 alkyl; R3 = H, CF3, C1-6 alkyl, C1-6 alkoxy, (C1-6 alkyl)cycloalkyl, etc.; R4 = C1-6 alkyl, C1-6 alkoxy, alkylcycloalkyl, acyl, etc.; R5 = (un)substituted carboxylic acid, OPO3H2, SO3H, etc.] and pharmaceutically acceptable salts thereof, were prepared by several methods. Thus, Et 5-nitroindole-2-carboxylate was C3-chlorinated in DMF. The alc. was formed by reduction of the ester in a two-step process and was then TBDMS-protected. The TBDMS-protected alc. was N-alkylated with Me 4-(bromomethyl)benzoate, the nitro group reduced to the amine over Pt/C, and the compound reacted with cyclopentylcarbonyl chloride to form the amide. The amide was treated with with Ph3PBr2 in CH2Cl2 to convert the protected alc. to the bromide and then reacted with phenethyl mercaptan in

the presence of Cs2CO3 followed by NaOH to yield 4-({3-chloro-5-[(cyclopentylcarbonyl)amino]-2-[(phenethylsulfanyl)methyl]-1H-indol-1-yl}methyl)benzoic acid (III). The title compds. are useful as phospholipase enzyme inhibitors, especially cytosolic phospholipase A2 (cPLA2), for treatment of inflammatory conditions, particularly where inhibition of production of prostaglandins, leukotrienes, and PAF are all desired (no data).

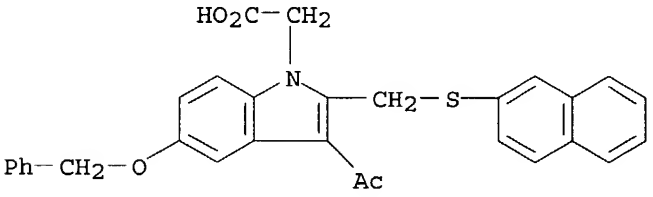
IT **241493-16-3P 241493-17-4P**

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of indole derivs. as phospholipase enzyme inhibitors for treatment of inflammatory conditions)

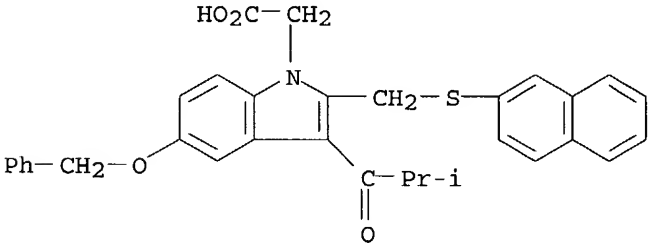
RN 241493-16-3 CAPLUS

CN 1H-Indole-1-acetic acid, 3-acetyl-2-[(2-naphthalenylthio)methyl]-5-(phenylmethoxy)- (9CI) (CA INDEX NAME)



RN 241493-17-4 CAPLUS

CN 1H-Indole-1-acetic acid, 3-(2-methyl-1-oxopropyl)-2-[(2-naphthalenylthio)methyl]-5-(phenylmethoxy)- (9CI) (CA INDEX NAME)



L7 ANSWER 4 OF 8 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1999:375527 CAPLUS

DOCUMENT NUMBER: 131:31874

TITLE: Preparation of amidinophenylpropionylindoles and related compounds as thrombin inhibitors.

INVENTOR(S): Heckel, Armin; Walter, Rainer; Soyka, Rainer; Stassen, Jean-Marie; Wienen, Wolfgang; Binder, Klaus

PATENT ASSIGNEE(S): Boehringer Ingelheim Pharma KG, Germany

SOURCE: PCT Int. Appl., 173 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

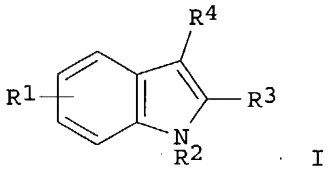
LANGUAGE: German

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE

WO 9928297 A1 19990610 WO 1998-EP7661 19981127
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, HR, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
DE 19753522 A1 19990610 DE 1997-19753522 19971203
AU 9922671 A1 19990616 AU 1999-22671 19981127
PRIORITY APPLN. INFO.: DE 1997-19753522 19971203
WO 1998-EP7661 19981127
OTHER SOURCE(S): MARPAT 131:31874
GI



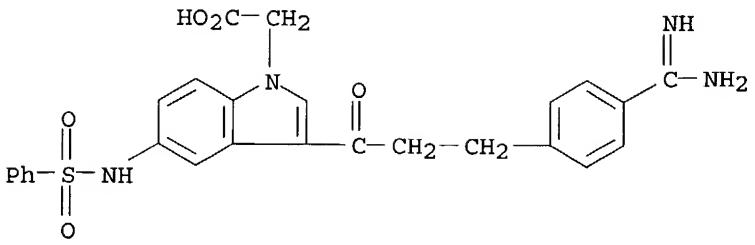
I

AB Title compds. [I; R1 = F, Cl, Br, CO2H, aminocarbonyl, aminosulfonyl, amino, group convertible to CO2H in vivo; 1 of R2, R4 = (CO2H- or group convertible to CO2H in vivo-substituted) alkyl, the other = R5A; A = (CO2H- or group convertible to CO2H in vivo-substituted) alkylene, etc.; R5 = R6NHC(:NH)-substituted Ph; R4 = H, alkyl; R6 = H, in vivo-cleavable group], were prepared as antithrombotics with inhibitory activity against serine proteases XII and fibrinogen receptors. Thus, 3-[3-(4-amidinophenyl)propionyl]-1-methylindole-5-carboxylic acid N-(2-carboxyethyl)-N-phenylamide hydrochloride (preparation given) showed a thrombin time ED200 = 0.80 μM.

IT **226900-25-0P 226900-33-0P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of amidinophenylpropionylindoles and related compds. as thrombin inhibitors)

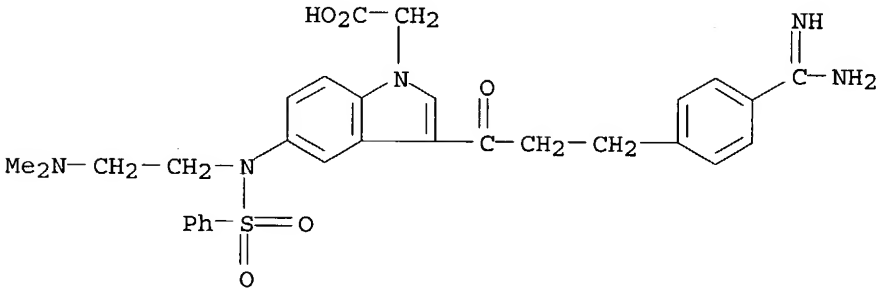
RN 226900-25-0 CAPLUS

CN 1H-Indole-1-acetic acid, 3-[3-[4-(aminoiminomethyl)phenyl]-1-oxopropyl]-5-[(phenylsulfonyl)amino]-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 226900-33-0 CAPLUS
CN 1H-Indole-1-acetic acid, 3-[3-[4-(aminoiminomethyl)phenyl]-1-oxopropyl]-5-[[2-(dimethylamino)ethyl](phenylsulfonyl)amino]-, dihydrochloride (9CI) (CA INDEX NAME)



●2 HCl

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 5 OF 8 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1997:483378 CAPLUS
DOCUMENT NUMBER: 127:90133
TITLE: Synthesis, Biological Evaluation, and Structure-Activity Relationships of 3-Acylindole-2-carboxylic Acids as Inhibitors of the Cytosolic Phospholipase A2
AUTHOR(S): Lehr, Matthias
CORPORATE SOURCE: Institute of Pharmacy and Food Chemistry, Ludwig-Maximilians-University, Munich, D-80333, Germany
SOURCE: Journal of Medicinal Chemistry (1997), 40(17), 2694-2705
CODEN: JMCMAR; ISSN: 0022-2623
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
AB 3-Acylindole-2-carboxylic acid derivs. were prepared and evaluated for their

ability to inhibit the cytosolic phospholipase A2 of intact bovine platelets. To define the structural requirements for enzyme inhibition, the carboxylic acid group, the acyl residue, and the moiety in position 1 were systematically modified. Furthermore, different substituents were introduced into the Ph part of the indole. Replacement of the carboxylic acid group in position 2 of the indole with an acetic or propionic acid substituent led to a decrease of inhibitory potency. Enzyme inhibition was optimal when the acyl residue in position 3 had a length of 12 or more carbons. Conformational restriction of the acyl residue did not influence activity. Introduction of alkyl chains at position 1 of the indole with 8 or more carbons resulted in a loss of activity. However, replacing the ω-Me group of such compds. with a carboxylic acid moiety increased inhibitory potency significantly. Among the tested indole derivs., 1-[2-(4-carboxyphenoxy)ethyl]-3-dodecanoylindole-2-carboxylic acid had the highest potency. With an IC50 of 0.5 μM it was about 20-fold more active than the standard cPLA2 inhibitor arachidonyl trifluoromethyl ketone (IC50: 11 μM).

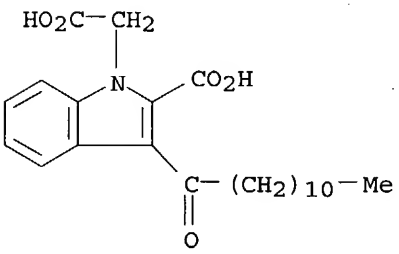
IT **192182-21-1P**

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(preparation and structure-activity relationships of acylindolecarboxylates as inhibitors of phospholipase A2)

RN 192182-21-1 CAPLUS

CN 1H-Indole-1-acetic acid, 2-carboxy-3-(1-oxododecyl)- (9CI) (CA INDEX NAME)



L7 ANSWER 6 OF 8 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1981:400230 CAPLUS

DOCUMENT NUMBER: 95:230

TITLE: Autocorrelation of molecular structures. Application to SAR studies

AUTHOR(S): Moreau, Gilles; Broto, Pierre

CORPORATE SOURCE: Dep. Phys., Roussel Uclaf, Romainville, 93230, Fr.

SOURCE: Nouveau Journal de Chimie (1980), 4(12), 757-64
CODEN: NJCHD4; ISSN: 0398-9836

DOCUMENT TYPE: Journal

LANGUAGE: English

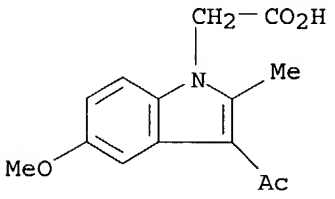
AB A new mol. descriptor, the autocorrelation of topol. structure, is used in a structure-activity relation to predict analgesic activity of 309 glafenine derivs. and isoindomethacine analogs. Using learning machine techniques the prediction of analgesic activity is shown to be in agreement with exptl. observed activity.

IT **57329-82-5 57329-83-6 57329-84-7**

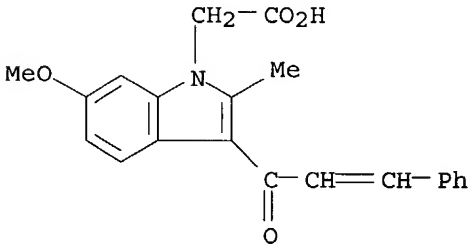
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(analgesic activity of, autocorrelation of topol. structure in relation to)

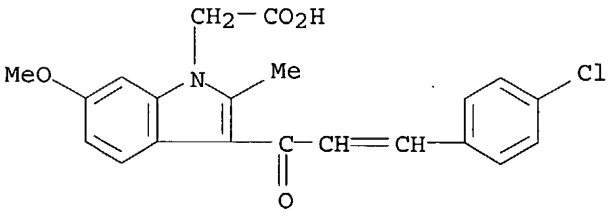
RN 57329-82-5 CAPLUS
CN 1H-Indole-1-acetic acid, 3-acetyl-5-methoxy-2-methyl- (9CI) (CA INDEX NAME)



RN 57329-83-6 CAPLUS
CN 1H-Indole-1-acetic acid, 6-methoxy-2-methyl-3-(1-oxo-3-phenyl-2-propenyl)- (9CI) (CA INDEX NAME)

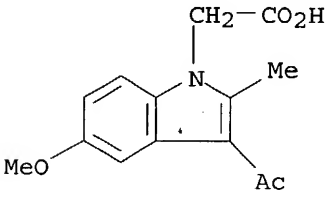


RN 57329-84-7 CAPLUS
CN 1H-Indole-1-acetic acid, 3-[3-(4-chlorophenyl)-1-oxo-2-propenyl]-6-methoxy-2-methyl- (9CI) (CA INDEX NAME)

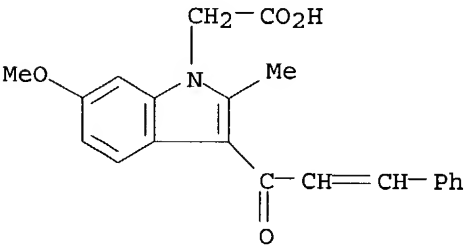


L7 ANSWER 7 OF 8 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1975:531402 CAPLUS
DOCUMENT NUMBER: 83:131402
TITLE: Nonnarcotic analgetic and antiinflammatory agents. 1-Carboxyalkyl-3-acylindoles
AUTHOR(S): Allais, Andre; Meier, Jean; Mathieu, Jean; Nomine, Gerard; Peterfalvi, Michel; Deraedt, Roger; Chifflot, Louise; Benzoni, Josette; Fournex, Robert
CORPORATE SOURCE: Cent. Rech., Roussel-Uclaf, Romainville, Fr.
SOURCE: European Journal of Medicinal Chemistry (1975), 10(2), 187-99
CODEN: EJMCA5; ISSN: 0223-5234

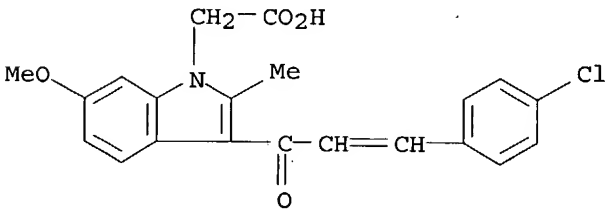
DOCUMENT TYPE: Journal
LANGUAGE: French
GI For diagram(s), see printed CA Issue.
AB Analgesic and antiinflammatory indoleacetic acids I (R = Ph, substituted phenyl, Me, cyclohexyl, CH:CHPh, CH:CHC6H4Cl-4, 2-furyl, 3-pyridyl, 4-pyridyl; R1 = H, 5-alkoxy, 6-alkoxy, 6-SMe, 5-halo, 6-halo, 6-SO2Me, 6-NO2, 6-NH2) (47 compds.) as well as some amides and other derivs. were prepared, e.g. by hydrolyzing the esters, prepared by treating 3-acylindoles with haloacetate. I (R = 4-ClC6H4, R1 = 6-OMe) had an analgesic ED50 of 5 mg/kg orally in mice and an antiinflammatory ED40 of 35 mg/kg orally in rats.
IT **57329-82-5P 57329-83-6P 57329-84-7P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and antiinflammatory and analgesic activity of)
RN 57329-82-5 CAPLUS
CN 1H-Indole-1-acetic acid, 3-acetyl-5-methoxy-2-methyl- (9CI) (CA INDEX NAME)



RN 57329-83-6 CAPLUS
CN 1H-Indole-1-acetic acid, 6-methoxy-2-methyl-3-(1-oxo-3-phenyl-2-propenyl)- (9CI) (CA INDEX NAME)



RN 57329-84-7 CAPLUS
CN 1H-Indole-1-acetic acid, 3-[3-(4-chlorophenyl)-1-oxo-2-propenyl]-6-methoxy-2-methyl- (9CI) (CA INDEX NAME)



L7 ANSWER 8 OF 8 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1970:66807 CAPLUS
DOCUMENT NUMBER: 72:66807
TITLE: 1-(Carboxyalkyl)indoles
INVENTOR(S): Bell, Malcolm Rie
PATENT ASSIGNEE(S): Sterling Drug Inc.
SOURCE: Ger. Offen., 110 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 1908541	A	19690918	DE 1969-1908541	19690220
US 3557142	A	19710119	US 1968-706802	19680220
GB 1206915	A	19700930	GB 1969-1206915	19690212
JP 48043740	B4	19731220	JP 1969-12483	19690219
BE 728675	A	19690820	BE 1969-728675	19690220
NL 6902641	A	19690822	NL 1969-2641	19690220
FR 2002284	A5	19691017	FR 1969-4336	19690220
FR 2002284	B1	19730713		
CH 507238	A	19710515	CH 1969-507238	19690220
SE 350259	B	19721023	SE 1969-2380	19690220
BR 6906477	A0	19730116	BR 1969-206477	19690220
US 3843683	A	19741022	US 1971-201142	19711122
PRIORITY APPLN. INFO.:			US 1968-706802	19680220
			GB 1969-7719	19691229
			US 1970-9945	19700209

GI For diagram(s), see printed CA Issue.

AB 1-Carboxyalkylindoles (I), with antiinflammatory activity, are prepared by reaction of indoles with XACO2R2, where X is a halogen, in inert solvents in the presence of a base. Thus, a solution of 50 g indole in 300 ml Et2O was added to 160 ml 3M EtMgBr diluted with 100 ml Et2O, 60 g BzCl in 90 ml Et2O was added and the mixture refluxed 2.5 hr to give 50 g 3-benzoylindole, m. 237-9°. This (20 g) and 5.1 g 52% NaH suspension in mineral oil was treated in 250 ml HCONMe2 with 17.9 g BrCH2CO2Et, to give 30.2 g I (A = CH2, R = Et, R1 = H, R2 = H, R3 = Bz), which was refluxed with alc. NaOH to yield 18.1 g I (A = CH2, R = H, R1 = H, R2 = H, R3 = Bz), m. 216-18°. The indoles are prepared by condensation of phenylhydrazines with ketones. Thus, 54 g PhNHNH2 and 50 g pinacoline in 300 ml benzene was refluxed 7 hr while H2O was distilled, and the mixture heated with 400 g ZnCl2 to give 2-tert-butylindole, b0.05 85-95°, m. 65-9°. The following I were prepared (A, R, R1, R2, R3, and m.p. given): (ACO2R =) H, H, Me, Bz, 183-4°; CH2, Et, H, Me, Bz, -(oil); CH2, H, H, Me, Bz, 211-12°; (CH2)2, Et, H, Me, Bz, -(oil); (CH2)2, H, H, Me, Bz, 205-7°; (ACO2R =) H, H, H, 4-ClC6H4CO, 180-200°; CH2, Et, H, H, 4-ClC6H4CO, -; CH2, H, H, H, 4-ClC6H4CO, 235-6°; (ACO2R =) H, H, Me, 4-ClC6H4CO, 181-3°; CH2, Et, H, Me, 4-ClC6H4CO, 145-6°; CH2, H, H, Me, 4-ClC6H4CO, 233-6°; (CH2)2, Et, H, Me, 4-ClC6H4CO, -(oil); (CH2)2, H, H, Me, 4-ClC6H4CO, 224-7° (decomposition); (ACO2R =) H, H, Me, 3,4-Cl2C6H3CO, 229-30°; CH2, Et, H, Me, 3,4-Cl2C6H3CO, -(oil); CH2, H, H, Me, 3,4-Cl2C6H3CO, 212-14°; (ACO2R =) H, H, Me, 4-MeC6H4CO, 202-4.5°; CH2, Et, H, Me, 4-MeC6H4CO, -; CH2, H, H, Me, 4-MeC6H4CO, 226-9.5° (decomposition); (ACO2R =) H, H, Me, 4-MeOC6H4CO, -; CH2, Et, H, Me, 4-MeOC6H4CO, -(oil); CH2, H, H, Me, 4-MeOC6H4CO, 208-10°; (ACO2R =) H, H, Me, 4-CF3C6H4CO, 195-7°; CH2, Et, H, Me, 4-CF3C6H4CO, 128-32°; CH2, H, H, Me, 4-CF3C6H4CO, 228-31°;

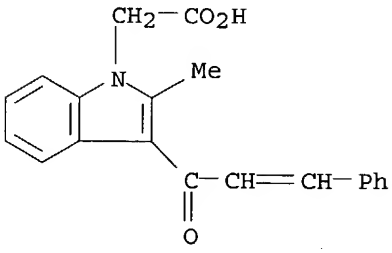
(CH2)2, Et, H, H, Bz, -(oil); (CH2)2, H, H, H, Bz, 190-3°; (ACO2R =) H, H, Me, PhCH:CHCO, 153.5-6.5° (166-8°); CH2, Et, H, Me, PhCH:CHCO, 110-12°; CH2, H, H, Me, Ph-CH:CHCO, 220-5°; (CH2)2, Et, H, Me, PhCH:CHCO, -(gum); (CH2)2, H, H, Me, PhCH:CHCO, 164-6° (190-1°); (ACO2R =) H, 5,6-(MeO)2, Me, Bz, 210-12°; CH2, Et, 5,6-(MeO)2, Me, Bz, -; CH2, H, 5,6-(MeO)2, Me, Bz, 138-40° (189-91°); (CH2)2, Et, 5,6-(MeO)2, Me, Bz, -(gum); (CH2)2, H, 5,6-(MeO)2, Me, Bz, 198-201°; (CH2)2, Et, H, Me, 4-MeC6-H4CO, -(gum); (CH2)2, H, H, Me, 4-MeC6H4CO, 210.5-13°; (ACO2R =) H, 5,6-(MeO)2, Me, 4-ClC6H4CO, 223.5-5.5°; (CH2)2, Et, 5,6-(MeO)2, Me, 4-ClC6H4CO, -; (CH2)2, H, 5,6-(MeO)2, Me, 4-ClC6H4CO, 174-6.5°; CH2, Et, 5,6-(MeO)2, Me, 4-ClC6H4CO, -; CH2, H, 5,6-(MeO)2, Me, 4-ClC6H4CO, 157-9°; (ACO2R =) H, H, Me, 2,6-(MeO)2C6H3CO, 199-200°; CH2, Et, H, Me, 2,6-(MeO)2C6H3CO, -; CH2, H, H, Me, 2,6-(MeO)2C6H3CO, 250° (decomposition); (CH2)2, Et, H, Me, 2,6-(MeO)2C6H3CO, -; (CH2)2, H, H, Me, 2,6-(MeO)2C6H3CO, 195-7°; (ACO2R =) H, H, Me, 4-O2NC6H4CO, 230-2°; CH2, Et, H, Me, 4-O2NC6H4CO, 156-8.5°; CH2, H, H, Me, 4-O2NC6-H4CO, -; MeCH, H, H, Me, Bz, 225-7°; MeCH, H, H, Me, 4-ClC6H4CO, 116°; (CH2)2, H, H, Me, 4-MeOC6H4CO, 177-8.5°; (CH2)2, Et, 5,6-(MeO)2, Me, 4-ClC6H4CO, -(gum); (CH2)2, H, 5,6-(MeO)2, Me, 4-ClC6H4CO, 193.5-5.5°; (ACO2R =) H, 5-F, Me, 4-ClC6H4CO, 231-3°; CH2, H, 5-F, Me, 4-ClC6H4CO, -; (CH2)2, H, 5-F, Me, 4-ClC6H4CO, 205-7°; (ACO2R =) H, 5-F, Me, Bz, 232-4°; CH2, H, 5-F, Me, Bz, 253-5°; (CH2)2, H, 5-F, Me, Bz, 228-30°; (ACO2R =) H, H, Me, 2,6-Cl2C6H3CO, 232-4°; CH2, H, H, Me, 2,6-Cl2C6-H3CO, 242-3°; (CH2)2, H, H, Me, 2,6-Cl2C6H3CO, 194-6°; CH2MeCH, H, H, $"°; CH2, H, H, Me, 2-thenoyl, 227-9°; MeCH, H, H, Me, 2-thenoyl, 185-9°; (CH2)2,H, H, Me, 2-thenoyl, 169-71°; CH2, Et, H, Me, 3-O2NC6H4CO, 155-8°; CH2, Et, H, Me, 4-H2NC6H4CO, 85-8.5°; CH2, H, H, Me, 4-H2NC6H4CO, -; (ACO2R =) H, H, tert-Bu, Bz, 215-20°; (CH2)2, H, H, Me, 4-O2NC6H4CO, 244-6°; (CH2)2, H, H, Me, 4-H2NC6H4CO, 228-31°; (CH2)2, H, H, Me, 4-Me2NC6H4CO, 169-71.5°; (CH2)2, H, H, Me, 4-tert-BuC6H4CO, 165.5-68°; (CH2)2, H, 5-Me, ,me, Bz, 212-14°; CH2, Et, H, Me, Ph, -(oil); CH2, H, H, Me, Ph, 159-67°; CH2, Et, H, Me, 4-ClC6H4, -(oil); CH2, H, H, Me, 4-ClC6H4, 188-202° (decomposition); (CH2)2, Et, H, Me, Ph, -(oil); (CH2)2, H, H, Me, Ph, 135-7.5°; (CH2)2, Et, H, Me, 4-ClC6H4, -; (CH2)2, H, H, Me, 4-ClC6H4, 143.5-5.5°; CH2, Et, H, Me, 4-ClC6H4CH2, -(oil); CH2, H, H, Me, 4-ClC6H4CH2, 202-5°; (CH2)2, Na, H, Me, Bz, -; (CH2)2, H, H, Me, 4-AcNHC6H4CO, 215-18°; (CH2)3, H, H, Me, Bz, 151-3°; (CH2)2, H, H, Me, 3,4,5-(MeO)3C6H2CO, 174-6°; (ACO2R =) H, 4-Me, Me, Bz, 174-5°; (CH2)2, H, 4-Me, Me, Bz, 187-8°; (ACO2R =) H, H, Me, 3,4-Me2C6H3CO, 204-7°; (CH2)2, H, H, Me, 3,4-Me2C6-H3CO, 182-5°; (ACO2R =) H, H, Me, 3,5-Me2C6H3CO, 256-8°; (CH2)2, H, H, Me, 3,5-Me2C6H3CO, 152-4°; (ACO2R =) H, H, Me, 3,4-FMeC6H3CO, 209-10.5°; (CH2)2, H, H, Me, 3,4-FMeC6H3CO, 193-6°; (ACO2R =) H, H, Me, 4-FC6H4CO, -; (CH2)2, H, H, Me, 4-FC6H4CO, 215-19°; (ACO2R =) H, H, Me, 3-FC6H4CO, -; (CH2)2, H, H, Me, 3-FC6H4CO, 179-81.5°; (ACO2R =) H, H, Me, 2,4,6-Me3C6H2CO, 261-8°; (CH2)2, H, H, Me, 2,4,6-Me3C6H2CO, 150-2.5°; (ACO2R =) H, H, Me, 4,3-Me(MeO)C6H3CO, -; (CH2)2, H, H, Me, 4,3-Me(MeO)-C6H3CO, 173-5°; (ACO2R =) H, H, Me, 4-EtC6H4CO, -; (CH2)2, H, H, Me, 4-EtC6H4CO, 174-7°; (ACO2R =) H, H, Me, C6H11CO (C6H11 = cyclohexyl), -; (CH2)2, H, H, Me, C6H11CO, 163-5°; (ACO2R =) H, H, Me, 3-MeC6H4CO, -; (CH2)2, H, H, Me, 3-MeC6H4CO, 170-3°; (ACO2R =) H, H, Me, 3,4-(MeO)2C6H3CO, -; (CH2)2, H, H, Me, 3,4-(MeO)2-C6H3CO, 143-5.5°; (ACO2R =) H, H, Me, adamantanecarbonyl, 155-8°; (CH2)2, H, H, Me, adamantanecarbonyl, 169-71°; (ACO2R =) H, H, Me, 4-PhC6H4CO, 222-4°; (CH2)2, H,

H, Me, 4-PhC6H4CO, 171.5-74°; (ACO2R =) H, H, Me, C5H9CO (C5H9 = cyclopentyl), -; (CH2)2, H, H, Me, C5H9CO, 138-40.5°; (ACO2R =) H, H, Me, 2,4-(MeO)2C6H3CO, -; (CH2)2, H, H, Me, 2,4-(MeO)2C6H3CO, 194-6.5°; (ACO2R =) H, 5-Me, Me, 4-MeC6H4CO, 231-2°; (CH2)2, H, 5-Me, Me, 4-MeC6H4CO, 215-16°; (ACO2R =) H, H, Me, 4-iso-PrC6H4CO, -; (CH2)2, H, H, Me, 4-iso-PrC6H4CO, 174.5-6.5°; (ACO2R =) H, 4-Me, Me, 4-MeOC6H4CO, 76-7°; and (CH2)2, H, 4-Me, Me, 4-MeOC6H4CO, 179-80°.

IT **26212-00-0P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 26212-00-0 CAPLUS

CN Indole-1-acetic acid, 3-cinnamoyl-2-methyl- (8CI) (CA INDEX NAME)



=> d l13 ibib abs hitstr tot

L13 ANSWER 1 OF 16 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2000:742053 CAPLUS
DOCUMENT NUMBER: 133:310142
TITLE: Synthesis, activity and formulations of pharmaceutical compounds for treatment of oxidative stress and/or endothelial dysfunction
INVENTOR(S): Del Soldato, Piero
PATENT ASSIGNEE(S): Nicox S.A., Fr.
SOURCE: PCT Int. Appl., 159 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000061537	A2	20001019	WO 2000-EP3234	20000411 <--
WO 2000061537	A3	20010927		
W: AL, AU, BA, BB, BG, BR, CA, CN, CU, CZ, DM, EE, GE, HR, HU, ID, IL, IN, IS, JP, KP, KR, LC, LK, LR, LT, LV, MA, MG, MK, MN, MX, NO, NZ, PL, RO, SG, SI, SK, SL, TR, TT, UA, US, UZ, VN, YU, ZA, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
IT 1311924	B1	20020320	IT 1999-MI753	19990413 <--
BR 2000009702	A	20020108	BR 2000-9702	20000411 <--
EP 1169294	A2	20020109	EP 2000-925203	20000411 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,				

IE, SI, LT, LV, FI, RO

JP 2002541233	T2	20021203	JP 2000-610814		20000411 <--
NZ 514267	A	20040625	NZ 2000-514267		20000411
ZA 2001008127	A	20030103	ZA 2001-8127		20011003
NO 2001004927	A	20011213	NO 2001-4927		20011010 <--
PRIORITY APPLN. INFO.:			IT 1999-MI753	A	19990413
			WO 2000-EP3234	W	20000411

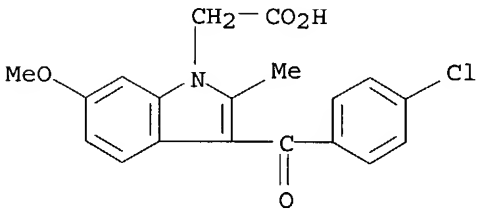
OTHER SOURCE(S): MARPAT 133:310142

AB Compds. A-B-C-N(O)s and A-C1[N(O)s]-B1 or their salts [s is an integer 1 or 2, preferably s = 2; A is the radical of a drug and is such as to meet the pharmacol. tests reported in the description; C and C1 are two bivalent radicals; the precursors of the radicals B and B1 are such as to meet the pharmacol. test reported in the description] were prepared for use as pharmaceuticals. **Thus**, (S,S)-N-acetyl-S-(6-methoxy-α-methyl-2-naphthalenylacetyl)cysteine 4-nitroxybutyl ester was prepared (NCX 2101) from naproxene and N-acetylcysteine in the first of 28 synthetic examples given. Pharmacol. test examples and tabular data are also given.

IT **25803-14-9**, Clometacin
RL: RCT (Reactant); RACT (Reactant or reagent)
(drug precursor)

RN 25803-14-9 CAPLUS

CN 1H-Indole-1-acetic acid, 3-(4-chlorobenzoyl)-6-methoxy-2-methyl- (9CI) (CA INDEX NAME)



L13 ANSWER 2 OF 16 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1999:566023 CAPLUS

DOCUMENT NUMBER: 131:199618

TITLE: Preparation of indole derivatives as phospholipase enzyme inhibitors

INVENTOR(S): Seehra, Jasbir S.; Kaila, Neelu; McKew, John C.; Lovering, Frank; Bemis, Jean E.; Xiang, Yibin

PATENT ASSIGNEE(S): Genetics Institute, Inc., USA

SOURCE: PCT Int. Appl., 128 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

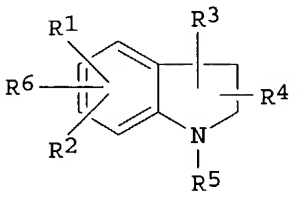
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9943651	A2	19990902	WO 1999-US3899	19990224 <--
WO 9943651	A3	19991216		

W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

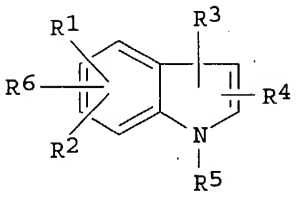
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG					
CA 2322161	AA	19990902	CA 1999-2322161	19990224	<--
AU 9927826	A1	19990915	AU 1999-27826	19990224	<--
BR 9908280	A	20001031	BR 1999-8280	19990224	<--
EP 1056719	A2	20001206	EP 1999-908379	19990224	<--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, FI					
TR 200002446	T2	20001221	TR 2000-200002446	19990224	<--
JP 2002504539	T2	20020212	JP 2000-533409	19990224	<--
EE 200000486	A	20020215	EE 2000-486	19990224	<--
NO 2000004220	A	20001005	NO 2000-4220	20000823	<--
HR 2000000552	A1	20010430	HR 2000-552	20000824	<--
BG 104780	A	20011031	BG 2000-104780	20000919	<--
US 2003153751	A1	20030814	US 2002-75079	20020508	
PRIORITY APPLN. INFO.:			US 1998-30062	A 19980225	
			US 1998-100426P	P 19980225	
			US 1999-256413	B2 19990224	
			WO 1999-US3899	W 19990224	
			US 2000-677006	B1 20000929	

OTHER SOURCE(S): MARPAT 131:199618

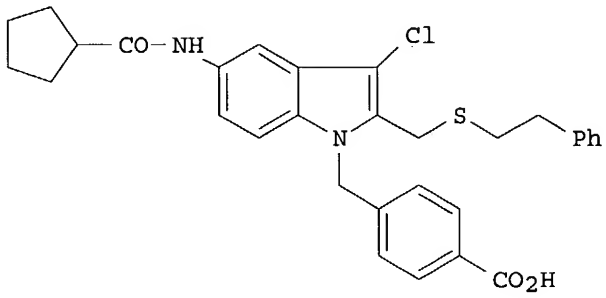
GI



I



II



III

AB Indole derivs. (I) and (II) [where R1 and R6 = H, halogen, CF3, OH, C1-10 alkyl, S-C1-10 alkyl, C1-10 alkoxy, CN, NO2, Ph, OPh, SPh, CH2Ph, OCH2Ph, SCH2Ph, or (un)substituted amino, amido, carbamido, sulfonyl, etc.; R2 = H, halogen, CF3, OH, C1-10 alkyl, C1-10 alkoxy, CHO, CN, NO2, (un)substituted amino, SO2-C1-6 alkyl; R3 = H, CF3, C1-6 alkyl, C1-6 alkoxy, (C1-6 alkyl)cycloalkyl, etc.; R4 = C1-6 alkyl, C1-6 alkoxy, alkylcycloalkyl, acyl, etc.; R5 = (un)substituted carboxylic acid, OPO3H2, SO3H, etc.] and pharmaceutically acceptable salts thereof, were prepared by several methods. **Thus**, Et 5-nitroindole-2-carboxylate was C3-chlorinated in DMF. The alc. was formed by reduction of the ester in a

two-step process and was then TBDMS-protected. The TBDMS-protected alc. was N-alkylated with Me 4-(bromomethyl)benzoate, the nitro group reduced to the amine over Pt/C, and the compound reacted with cyclopentylcarbonyl chloride to form the amide. The amide was treated with with Ph3PBr2 in CH2Cl2 to convert the protected alc. to the bromide and then reacted with phenethyl mercaptan in the presence of Cs2CO3 followed by NaOH to yield 4-({3-chloro-5-[(cyclopentylcarbonyl)amino]-2-[(phenethylsulfanyl)methyl]-1H-indol-1-yl}methyl)benzoic acid (III). The title compds. are useful as phospholipase enzyme inhibitors, especially cytosolic phospholipase A2 (cPLA2), for treatment of inflammatory conditions, particularly where inhibition of production of prostaglandins, leukotrienes, and PAF are all desired (no data).

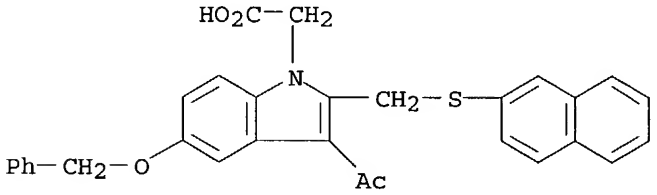
IT **241493-16-3P 241493-17-4P 241493-28-7P**

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of indole derivs. as phospholipase enzyme inhibitors for treatment of inflammatory conditions)

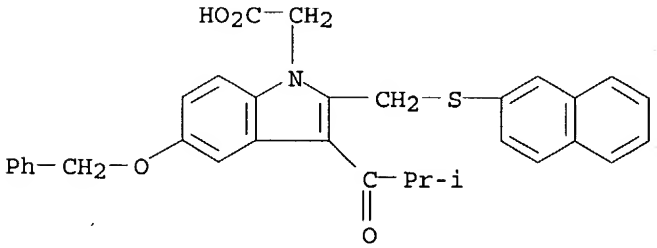
RN 241493-16-3 CAPLUS

CN 1H-Indole-1-acetic acid, 3-acetyl-2-[(2-naphthalenylthio)methyl]-5-(phenylmethoxy)- (9CI) (CA INDEX NAME)



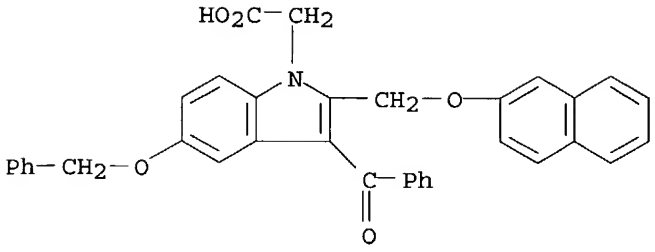
RN 241493-17-4 CAPLUS

CN 1H-Indole-1-acetic acid, 3-(2-methyl-1-oxopropyl)-2-[(2-naphthalenylthio)methyl]-5-(phenylmethoxy)- (9CI) (CA INDEX NAME)



RN 241493-28-7 CAPLUS

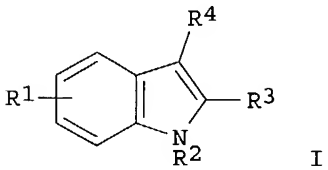
CN 1H-Indole-1-acetic acid, 3-benzoyl-2-[(2-naphthalenyloxy)methyl]-5-(phenylmethoxy)- (9CI) (CA INDEX NAME)



L13 ANSWER 3 OF 16 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1999:375527 CAPLUS
DOCUMENT NUMBER: 131:31874
TITLE: Preparation of amidinophenylpropionylindoles and related compounds as thrombin inhibitors.
INVENTOR(S): Heckel, Armin; Walter, Rainer; Soyka, Rainer; Stassen, Jean-Marie; Wienen, Wolfgang; Binder, Klaus
PATENT ASSIGNEE(S): Boehringer Ingelheim Pharma KG, Germany
SOURCE: PCT Int. Appl., 173 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9928297	A1	19990610	WO 1998-EP7661	19981127 <--
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, HR, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
DE 19753522	A1	19990610	DE 1997-19753522	19971203 <--
AU 9922671	A1	19990616	AU 1999-22671	19981127 <--
PRIORITY APPLN. INFO.:			DE 1997-19753522	19971203
			WO 1998-EP7661	19981127

OTHER SOURCE(S): MARPAT 131:31874
GI



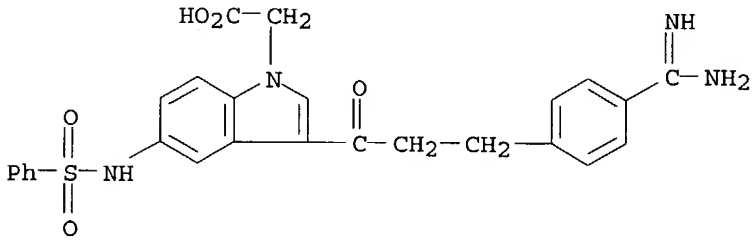
I

AB Title compds. [I; R1 = F, Cl, Br, CO2H, aminocarbonyl, aminosulfonyl, amino, group convertible to CO2H in vivo; 1 of R2, R4 = (CO2H- or group convertible to CO2H in vivo-substituted) alkyl, the other = R5A; A = (CO2H- or group convertible to CO2H in vivo-substituted) alkylene, etc.;

R5 = R6NHC(:NH)-substituted Ph; R4 = H, alkyl; R6 = H, in vivo-cleavable group], were prepared as antithrombotics with inhibitory activity against serine proteases XII and fibrinogen receptors. **Thus**, 3-[3-(4-amidinophenyl)propionyl]-1-methylindole-5-carboxylic acid N-(2-carboxyethyl)-N-phenylamide hydrochloride (preparation given) showed a thrombin time ED200 = 0.80 μM.

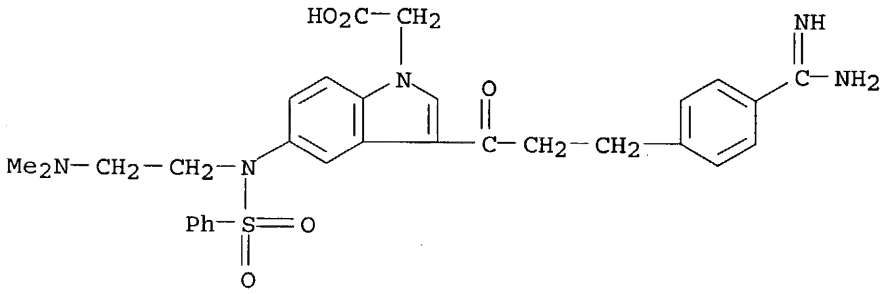
IT **226900-25-0P 226900-33-0P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of amidinophenylpropionylindoles and related compds. as thrombin inhibitors)

RN 226900-25-0 CAPLUS
CN 1H-Indole-1-acetic acid, 3-[3-[4-(aminoiminomethyl)phenyl]-1-oxopropyl]-5-[(phenylsulfonyl)amino]-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 226900-33-0 CAPLUS
CN 1H-Indole-1-acetic acid, 3-[3-[4-(aminoiminomethyl)phenyl]-1-oxopropyl]-5-[[2-(dimethylamino)ethyl](phenylsulfonyl)amino]-, dihydrochloride (9CI) (CA INDEX NAME)



●2 HCl

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

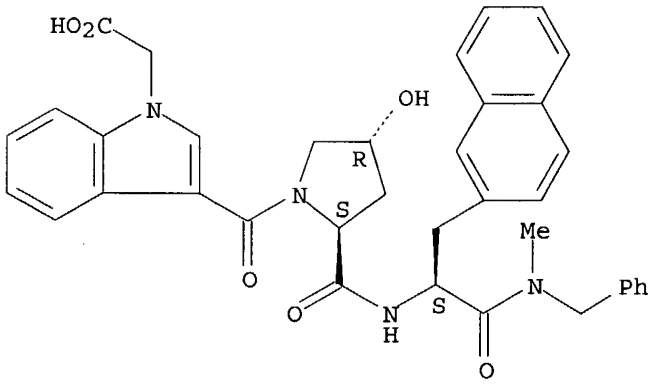
L13 ANSWER 4 OF 16 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1993:473119 CAPLUS

DOCUMENT NUMBER: 119:73119
TITLE: Peptides with tachykinin antagonist activity
INVENTOR(S): Matsuo, Masaaki; Hagiwara, Daijiro; Miyake, Hiroshi
PATENT ASSIGNEE(S): Fujisawa Pharmaceutical Co., Ltd., Japan
SOURCE: PCT Int. Appl., 11 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9222569	A1	19921223	WO 1992-JP780	19920618 <--
W: JP, US				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LU, MC, NL, SE				
EP 590152	A1	19940406	EP 1992-913210	19920618 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE				
JP 07503701	T2	19950420	JP 1992-500803	19920618 <--
PRIORITY APPLN. INFO.:			GB 1991-13219	19910619
			WO 1992-JP780	19920618

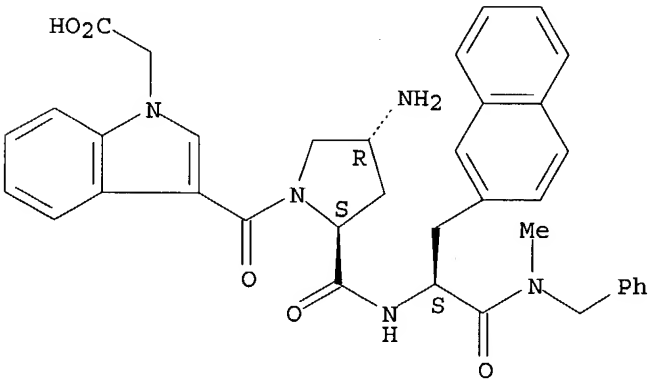
OTHER SOURCE(S): MARPAT 119:73119
GI For diagram(s), see printed CA Issue.
AB Peptides I [R1 = alkyl, aryl, aralkyl, arylamino, pyridyl, pyrrolyl, pyrazolopyridyl, quinolyl, heterocyclic group II (X = CH, N; Z = O, S, NH); R2 = H or alkyl; R3 = H or suitable substituent; R4 = (un)substituted alkyl; R5 (un)substituted aralkyl or pyridylalkyl; R4R5 = benzene-condensed alkylene; A = amino acid residue; Y = bond, alkylene, alkenylene, alkylimino] were prepared as tachykinin antagonists. **Thus**, indole-3-carboxylic acid III was coupled with H-(2S,4R)-Pro(4-OH)-2-Nal(6-Cl)-N(CH2Ph)Me.HCl [2-Nal = 3(2-naphthyl)alanine] by EtN:C:N(CH2)3NMe2/1-hydroxybenzotriazole in the presence of Et3N in CH2Cl2 to give peptide derivative IV. The 3H-substance P receptor-binding activity of test compound V was determined
IT **148357-34-0P 148357-50-0P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation of, as tachykinin antagonist)
RN 148357-34-0 CAPLUS
CN L-Alaninamide, 1-[[1-(carboxymethyl)-1H-indol-3-yl]carbonyl]-trans-4-hydroxy-L-prolyl-N-methyl-3-(2-naphthalenyl)-N-(phenylmethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 148357-50-0 CAPLUS
CN L-Alaninamide, trans-4-amino-1-[[1-(carboxymethyl)-1H-indol-3-yl]carbonyl]-L-prolyl-N-methyl-3-(2-naphthalenyl)-N-(phenylmethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

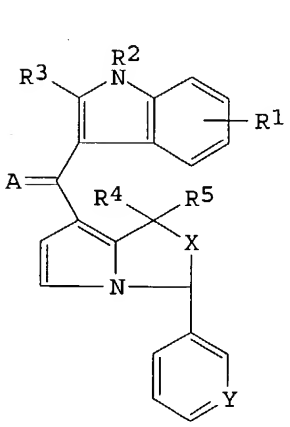


L13 ANSWER 5 OF 16 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1993:449384 CAPLUS
DOCUMENT NUMBER: 119:49384
TITLE: Preparation of 7-(indol-3-yl carbonyl)pyrrolo[1,2-c]thiazoles and related compounds as platelet activating factor antagonists
INVENTOR(S): Summers, James B.; Davidsen, Steven K.; Holms, James H.; Pireh, Daisy; Heyman, H. Robin; Martin, Michael B.; Steinman, Douglas H.; Sheppard, George S.; Carrera, George M., Jr.
PATENT ASSIGNEE(S): Abbott Laboratories, USA
SOURCE: PCT Int. Appl., 90 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

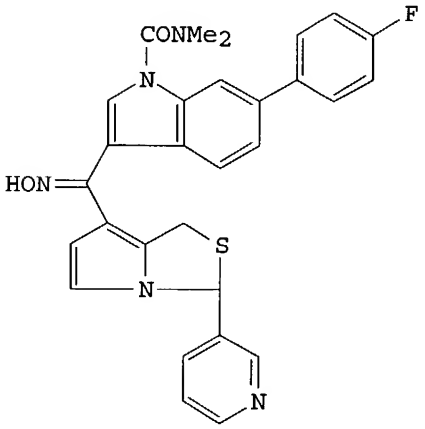
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE

WO 9301813	A1	19930204	WO 1992-US5890	19920714 <--
W: AU, CA, JP, KR, US				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LU, MC, NL, SE				
CA 2112562	AA	19930204	CA 1992-2112562	19920714 <--
AU 9223391	A1	19930223	AU 1992-23391	19920714 <--
AU 651243	B2	19940714		
EP 595924	A1	19940511	EP 1992-915895	19920714 <--
EP 595924	B1	19990414		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, MC, NL, SE				
AT 178796	E	19990415	AT 1992-915895	19920714 <--
ES 2131530	T3	19990801	ES 1992-915895	19920714 <--
JP 3135917	B2	20010219	JP 1993-502913	19920714 <--
US 5459152	A	19951017	US 1993-162034	19931202 <--
PRIORITY APPLN. INFO.:			US 1991-731681 A2	19910717
			WO 1992-US5890 A	19920714

OTHER SOURCE(S): MARPAT 119:49384
GI



I



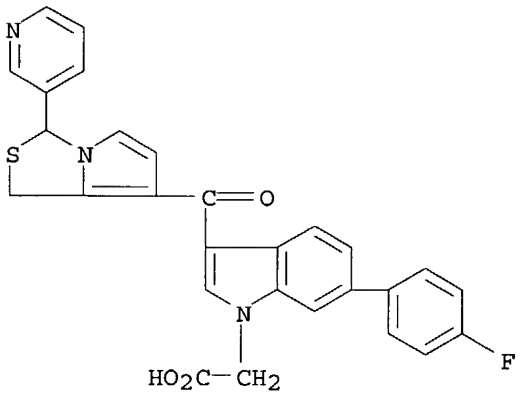
II

AB Title compds. [I; R1 = H, halo, furyl, thienyl, thiazolyl, pyridyl, pyrimidyl, alkyl, alkoxy, alkanoyl, (substituted) Ph, PhCO, PhO, phenylalkoxy phenylalkanoyl; R2 = H, alkyl, hydroxy(alkyl), carboxy(alkyl), amino(alkyl), acyl(alkyl), sulfonyl(alkyl), sulfamoyl(alkyl), carbamoyl(alkyl); R3-R5 = H, alkyl; X = S, SO, SO2, O, CH2; Y = N, N+R12, N+O-, N+OR12, N+NR7R8, N+NHCOR9, etc.; A = O, NOR10, NOCOR10, NNR7R8; R7-R9 = H, alkyl; R7R8 = heterocyclyl; R10 = H, alkyl, carboxyalkyl, aminoalkyl, hydroxylalkyl, sulfonylalkyl, sulfamoylalkyl, cyanoalkyl, tetrazolylalkyl, CONHNH2, (substituted) phenylalkyl; R12 = alkyl], were prepared **Thus**, 3-(pyridin-3-yl)-7-[1-(N,N-dimethyl(carbamoyl)-6-(4-fluorophenyl)indol-3-ylcarbonyl]-1H,3H-pyrrolo[1,2-c]thiazole (preparation given) was heated with NH2OH.HCl in pyrine/EtOH at 110° to give title compound II. II inhibited platelet activating factor with Ki = 0.3 nM.

IT **147621-03-2P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of, as platelet activating factor antagonist)

RN 147621-03-2 CAPLUS

CN 1H-Indole-1-acetic acid, 6-(4-fluorophenyl)-3-[[3-(3-pyridinyl)-1H,3H-pyrrolo[1,2-c]thiazol-7-yl]carbonyl]- (9CI) (CA INDEX NAME)

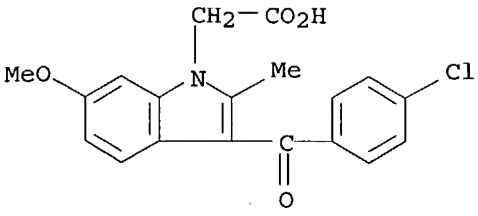


L13 ANSWER 6 OF 16 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1992:81342 CAPLUS
DOCUMENT NUMBER: 116:81342
TITLE: Use of adult human hepatocytes in primary culture for the study of clometacin-induced immunoallergic hepatitis
AUTHOR(S): Siproudhis, L.; Beaugrand, M.; Malledant, Y.; Brissot, P.; Guguen-Guillouzo, C.; Guillouzo, A.
CORPORATE SOURCE: Unite Rech. Hepatol., Hop. Pontchaillou, Rennes, 35033, Fr.
SOURCE: Toxicology in Vitro (**1991**), 5(5-6), 529-34
CODEN: TIVIEQ; ISSN: 0887-2333
DOCUMENT TYPE: Journal
LANGUAGE: English

AB Specific circulating antibodies from patients with drug-induced immunoallergic hepatitis could be involved in antibody-dependent cell-mediated cytotoxicity. Normal human hepatocytes from male kidney transplantation donors were cultured and incubated with clometacin, a drug known to induce immunoallergic hepatitis in humans. After drug exposure and in the presence of lymphoid cells autologous to hepatocytes, addition of blood sera from patients with clometacin-induced hepatitis consistently resulted in hepatocyte injury characterized by morphol. alterations and a decrease in intracellular lactate dehydrogenase and aspartate aminotransferase activities. Sera from patients with hepatitis induced by other drugs, such as cimeditine, halothane, or methyldopa, were ineffective and no cytotoxicity occurred in the absence of lymphoid cells or without the pre-incubation with clometacin. **Thus**, clometacin-induced hepatitis has an immunol. basis. Human hepatocytes co-cultured with autologous lymphoid cells represent a suitable model to study the antibody-dependent cell-mediated cytotoxicity.

IT **25803-14-9**, Clometacin
RL: BIOL (Biological study)
(allergic hepatitis from, liver hepatocyte assay for study of, in human)

RN 25803-14-9 CAPLUS
CN 1H-Indole-1-acetic acid, 3-(4-chlorobenzoyl)-6-methoxy-2-methyl- (9CI) (CA INDEX NAME)

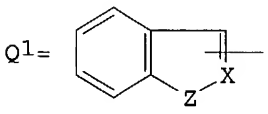


L13 ANSWER 7 OF 16 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1991:82562 CAPLUS
DOCUMENT NUMBER: 114:82562
TITLE: Preparation of acyldipeptide amides as tachykinin antagonists
INVENTOR(S): Matsuo, Masaaki; Hagiwara, Daijiro; Miyake, Hiroshi
PATENT ASSIGNEE(S): Fujisawa Pharmaceutical Co., Ltd., Japan
SOURCE: Eur. Pat. Appl., 13 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 394989	A2	19901031	EP 1990-107822	19900425 <--
EP 394989	A3	19910424		
EP 394989	B1	19941221		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE				
US 5164372	A	19921117	US 1990-505457	19900406 <--
CA 2015359	AA	19901028	CA 1990-2015359	19900425 <--
JP 03027399	A2	19910205	JP 1990-114129	19900427 <--

PRIORITY APPLN. INFO.: GB 1989-9795 19890428
GB 1989-17542 19890801

OTHER SOURCE(S): MARPAT 114:82562
GI

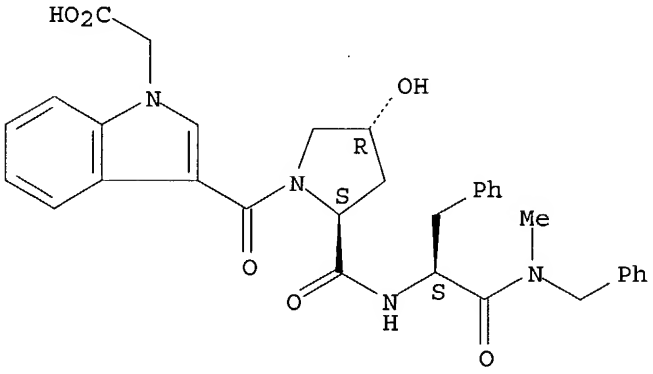


AB R1YCOANR2CH(CH2C6H4R3-p)CONR4R5 [R1 = (substituted) alkyl, aryl, arylamino, pyridyl, pyrrolyl, pyrazolopyridyl, quinolyl, Q1; X = CH, N; Z = O, S, NH; R2 = H, alkyl; R3 = H, OH; R4 = (substituted) alkyl; R5 = pyridylalkyl, (substituted) aralkyl; or R4R5 = benzene-condensed alkylene; A = amino acid residue except D-Trp; Y = bond, alkylene, alkenylene], were prepared **Thus**, BOC-Q2-Phe-N(Me)CH2Ph [BOC = Me3CO2C, Q2 = (2S,4R)-4-hydroxylprolyl residue] (preparation from BOC-Phe-OH given) was deprotected with trifluoroacetic acid and the product was coupled with indole-3-carbonyl chloride (Q3Cl) in CH2Cl2 in the presence of bistrimethylsilylacetamide to give Q3-Q2-Phe-N(Me)CH2Ph. The latter inhibited substance P-induced bronchoconstriction in guinea pigs with an ED50 of 0.072 mg/kg intratracheally.
IT **131948-50-0P 131948-74-8P 131949-43-4P**

131982-49-5P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation of, as tachykinin antagonist)

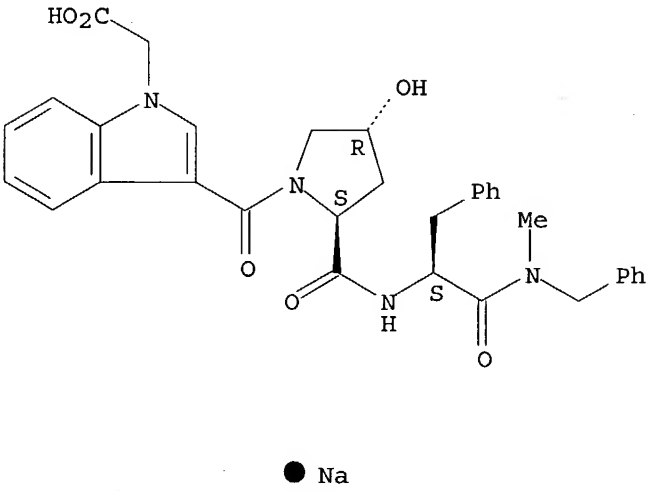
RN 131948-50-0 CAPLUS
CN L-Phenylalaninamide, 1-[[1-(carboxymethyl)-1H-indol-3-yl]carbonyl]-trans-4-hydroxy-L-prolyl-N-methyl-N-(phenylmethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



RN 131948-74-8 CAPLUS
CN L-Phenylalaninamide, 1-[[1-(carboxymethyl)-1H-indol-3-yl]carbonyl]-trans-4-hydroxy-L-prolyl-N-methyl-N-(phenylmethyl)-, monosodium salt (9CI) (CA INDEX NAME)

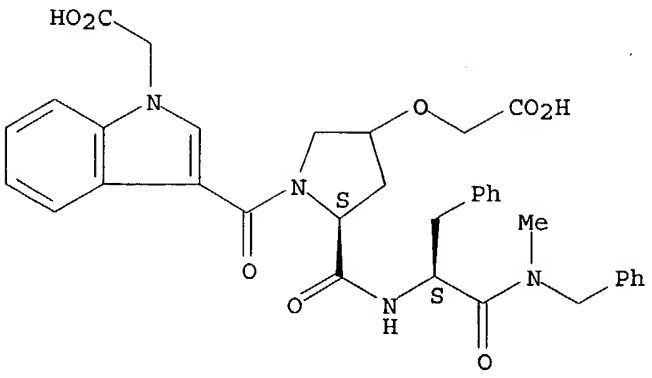
Absolute stereochemistry. Rotation (-).



● Na

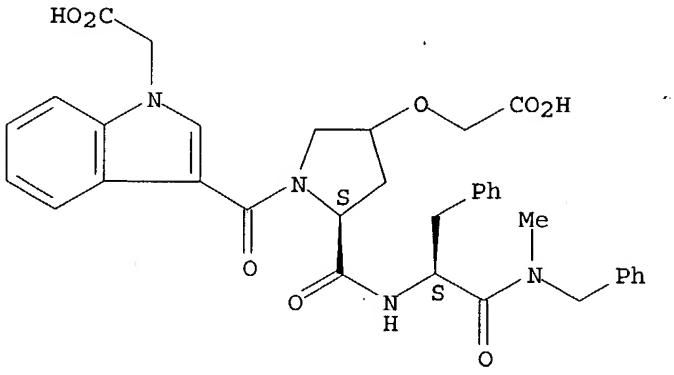
RN 131949-43-4 CAPLUS
CN L-Phenylalaninamide, trans-4-(carboxymethoxy)-1-[[1-(carboxymethyl)-1H-indol-3-yl]carbonyl]-L-prolyl-N-methyl-N-(phenylmethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 131982-49-5 CAPLUS
CN L-Phenylalaninamide, trans-4-(carboxymethoxy)-1-[[1-(carboxymethyl)-1H-indol-3-yl]carbonyl]-L-prolyl-N-methyl-N-(phenylmethyl)-, disodium salt (9CI) (CA INDEX NAME)

Absolute stereochemistry.



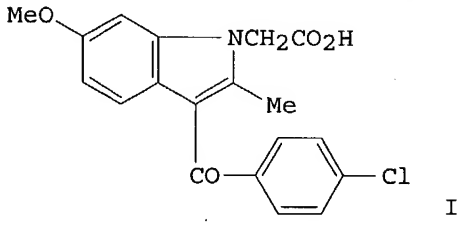
●2 Na

L13 ANSWER 8 OF 16 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1984:73988 CAPLUS
DOCUMENT NUMBER: 100:73988
TITLE: Oral dosage form of clometacin
INVENTOR(S): Hercelin, Bernard; Mary, Irene; Nung, Vien Nghia
PATENT ASSIGNEE(S): Roussel-UCLAF , Fr.
SOURCE: PCT Int. Appl., 20 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: French
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 8303756	A1	19831110	WO 1983-FR73	19830420 <--
FR 2525474	A1	19831028	FR 1982-7137	19820426 <--

FR 2525474	B1	19850222		
US 4478819	A	19841023	US 1983-488683	19830426 <--
PRIORITY APPLN. INFO.:			FR 1982-7137	19820426

GI



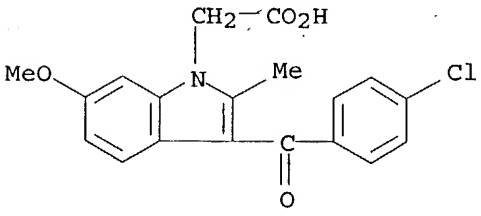
I

AB An oral dosage form of clometacin (I) [**25803-14-9**] consists of granules (obtained by extrusion) comprising 50-70% I and 5-20% alkali carbonate as an anhydrous excipient. Other excipients such as diluents, disintegrants, etc., may be added to granulation. This dosage form is characterized by a higher bioavailability than the conventional preparation **Thus**, a formulation containing I 150.00, Avicel PH 101 57.5, Aerosil 200 2.50, PEG 6000 12.5 and K2CO3 29.00 mg was prepared and encapsulated in a mixture containing Et cellulose 3.00, Bu phthalate 0.75, Arlacel 60 0.25 mg/capsule. The higher bioavailability of I was demonstrated in animals.

IT **25803-14-9**
RL: BIOL (Biological study)
(oral pharmaceuticals containing carbonates and)

RN 25803-14-9 CAPLUS

CN 1H-Indole-1-acetic acid, 3-(4-chlorobenzoyl)-6-methoxy-2-methyl- (9CI) (CA INDEX NAME)



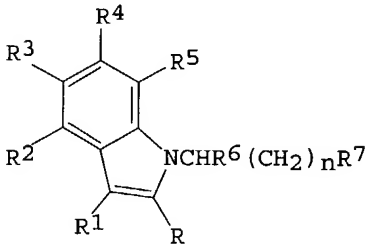
L13 ANSWER 9 OF 16 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER:	1981:568991 CAPLUS
DOCUMENT NUMBER:	95:168991
TITLE:	3-Acyl-1-substituted indoles
PATENT ASSIGNEE(S):	Teijin Ltd., Japan
SOURCE:	Jpn. Kokai Tokkyo Koho, 10 pp. CODEN: JKXXAF
DOCUMENT TYPE:	Patent
LANGUAGE:	Japanese
FAMILY ACC. NUM. COUNT:	1

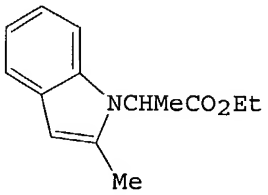
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 56083472	A2	19810708	JP 1979-160311	19791212 <--

JP 62030987 B4 19870706
PRIORITY APPLN. INFO.: JP 1979-160311 19791212
OTHER SOURCE(S): CASREACT 95:168991
GI



I



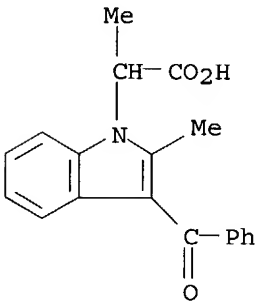
II

AB Title compds. I (R, R6 = H, alkyl; R1 = acyl; R2-R5 = H, halo, OH, alkoxy, alkanoyloxy, NO2, SH, alkylthio, alkyl, CF3; R2R3, R3R4, R4R5 = OCH2O, OCH2CH2O; n = 0-5; R7 = CHR8OR9, COR10; R8 = H, alkyl; R9 = alkyl, alkanoyl; R10 = alkoxy, alkylamino), useful as platelet aggregation inhibitors (no data), were prepared **Thus**, stirring II with Bz2O and 52% HI at 140° gave 67% I (R = R6 = Me, R1 = Bz, R2-R5 = H, n = 0, R7 = CO2Et).

IT **26296-68-4P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 26296-68-4 CAPLUS

CN 1H-Indole-1-acetic acid, 3-benzoyl-α,2-dimethyl- (9CI) (CA INDEX NAME)



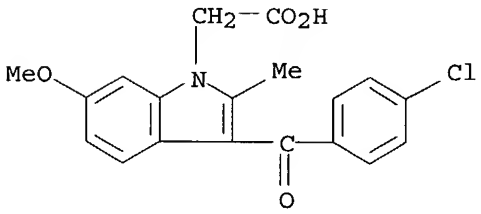
L13 ANSWER 10 OF 16 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1977:133507 CAPLUS
DOCUMENT NUMBER: 86:133507
TITLE: Inhibition of prostaglandin biosynthesis by non-narcotic analgesic drugs
AUTHOR(S): Deraedt, R.; Jouquey, S.; Benzoni, J.; Peterfalvi, M.
CORPORATE SOURCE: Cent. Rech., Roussel-UCLAF, Romainville, Fr.
SOURCE: Archives Internationales de Pharmacodynamie et de Therapie (**1976**), 224(1), 30-42
CODEN: AIPTAK; ISSN: 0003-9780
DOCUMENT TYPE: Journal
LANGUAGE: English

AB The existence of a relation between inhibition of prostaglandin

biosynthesis and analgesic or anti-inflammatory activity was investigated in the case of the non-narcotic analgesics glafenine [3820-67-5], floctafenine [23779-99-9] and clometacine [**25803-14-9**], in comparison to indomethacin [53-86-1] and acetylsalicylic acid [50-78-2]. These compds. inhibited prostaglandin biosynthesis from arachidonic acid in a guinea pig lung homogenate as strongly as indomethacin. On its biosynthesis in rat epididymal tissue stimulated by noradrenaline, glafenine equaled indomethacin inhibitory potency, whereas floctafenine and clometacine were less active. Acetylsalicylic acid was the least active in both prepns. In vivo, prostaglandin biosynthesis induced in rat peritoneal fluid by injection of acetic acid was inhibited by the 5 drugs, ranked as follows: floctafenine > indomethacin > glafenine > clometacine > acetylsalicylic acid. The pharmacol. profile of glafenine, floctafenine and clometacine was characterized by a relatively strong effect on acetic acid writhing and a relatively weak effect on carrageenin edema, UV erythema and adjuvant arthritis. The inhibition of prostaglandin biosynthesis seems better correlated with their analgesic activity than with their anti-inflammatory effects. **Thus**, prostaglandins could play an important role in the genesis of tissulary pain in animals.

IT **25803-14-9**
RL: BIOL (Biological study)
(prostaglandin formation inhibition by, non-narcotic analgesics in relation to)

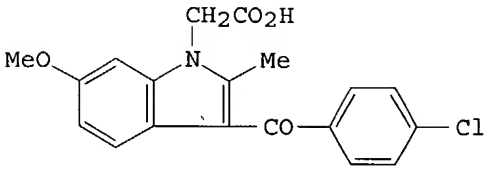
RN 25803-14-9 CAPLUS
CN 1H-Indole-1-acetic acid, 3-(4-chlorobenzoyl)-6-methoxy-2-methyl- (9CI) (CA INDEX NAME)



L13 ANSWER 11 OF 16 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1976:451748 CAPLUS
DOCUMENT NUMBER: 85:51748
TITLE: Production of solid tablets
INVENTOR(S): Toguchi, Hajime; Yamanaka, Minosuke; Iga, Katsumi; Shimamoto, Tsugio
PATENT ASSIGNEE(S): Takeda Chemical Industries, Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 5 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 51035415	A2	19760325	JP 1974-109242	19740920 <--
PRIORITY APPLN. INFO.:			JP 1974-109242	19740920

GI



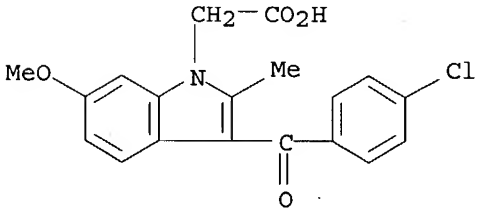
I

AB Drugs are wet-granulated with an inclusion compound of sucrose [57-50-1] fatty acid esters and alphatized starch [9005-25-8] to give a readily-dispersible preparation **Thus**, cornstarch and sucrose fatty acid esters were mixed and heated to give an inclusion compound 3-(P-chlorobenzoyl)-6-methoxy-2-methylindole-1-acetic acid (I) [**25803-14-9**] was then granulated with the inclusion compound, and the granules were mixed with Mg stearate and made into tablets by the regular method.

IT **25803-14-9**
RL: BIOL (Biological study)
(tablet granulate, starch-sucrose fatty acid ester inclusion compds. for)

RN 25803-14-9 CAPLUS

CN 1H-Indole-1-acetic acid, 3-(4-chlorobenzoyl)-6-methoxy-2-methyl- (9CI) (CA INDEX NAME)



L13 ANSWER 12 OF 16 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1971:141524 CAPLUS
DOCUMENT NUMBER: 74:141524
TITLE: Antiinflammatory and analgesic indoles
PATENT ASSIGNEE(S): Roussel-UCLAF
SOURCE: Fr., 18 pp.
CODEN: FRXXAK
DOCUMENT TYPE: Patent
LANGUAGE: French
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
FR 1584808	A	19700102	FR 1968-165812	19680911 <--
FR 7337	M	19691013	FR 1968-135641	19680111 <--
BE 726610	A	19690708	BE 1969-726610	19690108 <--
IL 31388	A1	19741129	IL 1969-31388	19690109 <--
ES 362342	A1	19701201	ES 1969-362342	19690110 <--
CH 506523	A	19710430	CH 1969-506523	19690110 <--
SE 340811	B	19711206	SE 1969-305	19690110 <--
BR 6905491	A0	19730208	BR 1969-205491	19690110 <--
JP 48019633	B4	19730614	JP 1969-1717	19690110 <--

DK 134935	B	19770214	DK 1969-144	19690110 <--
NL 6900544	A	19690715	NL 1969-544	19690113 <--
AT 286288	B	19701210	AT 1969-304	19690113 <--
GB 1260868	A	19720119	GB 1969-1260868	19690113 <--
ES 365834	A1	19710316	ES 1969-365834	19690409 <--
ES 371374	A1	19711016	ES 1969-371374	19690910 <--
ES 374371	A2	19720101	ES 1969-374371	19691209 <--
US 3856967	A	19741224	US 1972-272375	19720717 <--

PRIORITY APPLN. INFO.:

FR 1968-135641	19680111
FR 1968-147662	19680410
FR 1968-165689	19680910
FR 1968-165812	19680911
FR 1968-177430	19681210
FR 1968-177431	19681210
US 1969-790151	19690109
US 1969-813709	19690404
FR 1969-31578	19690917
US 1970-72859	19700916

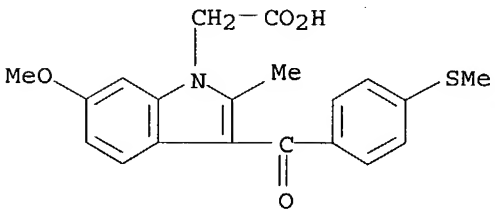
GI For diagram(s), see printed CA Issue.

AB The title compds. [I, R = (A)CO2H] (II) are prepared by acylation of the indole (III). I (R = H) (IV) is converted by condensation of IV alkali derivs. with an ester of an acid, XACO2H (where X = Cl, Br, or I) to give an ester I [R = (A)CO2R3] (V) which is saponified and converted to the corresponding salts of II. **Thus**, 2-BuOC6H4NH2 and AcCH(OMe)2 in C6H6 refluxed 2 hr under a Dean-Stark head and the mixture refluxed 4 hr with addnl. AcCH(OMe)2, concentrated and the oily product taken up in alc. and stirred 4 hr at 20° with NaBH4 gave 3-BuOC6H4NHCHMeCH(OMe)2. The dimethyl ketal in C6H6 stirred with passage of BF3 45 min at 37° and 1 hr at 20° and the mixture degassed with argon gave III (Z = H, Y = BuO), m. 50-5°. P-MeSC6H4CONMe2 in POCl3 and III (Z = H, Y = MeO) heated 2 hr at 85° gave IV (Z = H, Y = OMe, X = p-MeSC6H4) (VI), m. 195°. DMF containing 50% NaH in oil treated slowly with VI in DMF with evolution of H, and the mixture stirred 15 hr at 20° with ClCH2CO2Me in DMF gave V (X = p-MeSC6H4, Z = H, Y = OMe, A = CH2, R3 = Me) (VII), m. 128°. Aqueous MeOH containing KOH and VII refluxed 1 hr and the cooled solution concentrated, the residue taken up in hot H2O and the filtered solution acidified to pH 1.0 gave II (X = p-MeSC6H4, Z = H, Y = OMe, A = CH2), m. 269°. Similarly were produced 7 addnl. II.

IT **25771-27-1P 25771-31-7P 25771-35-1P**
26296-60-6P 26325-18-8P 31878-42-9P
31878-50-9P 31970-71-5P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 25771-27-1 CAPLUS

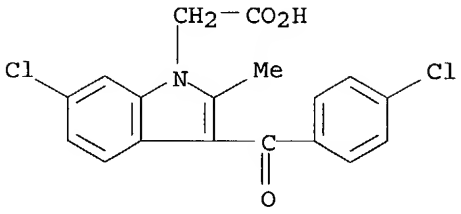
CN 1H-Indole-1-acetic acid, 6-methoxy-2-methyl-3-[4-(methylthio)benzoyl]- (9CI) (CA INDEX NAME)



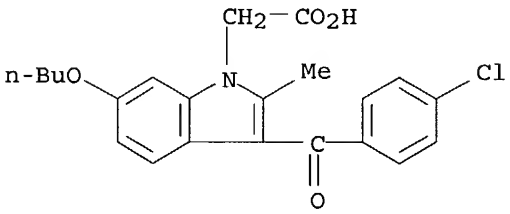
RN 25771-31-7 CAPLUS

CN 1H-Indole-1-acetic acid, 6-chloro-3-(4-chlorobenzoyl)-2-methyl- (9CI) (CA

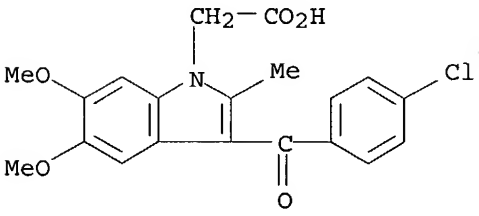
INDEX NAME)



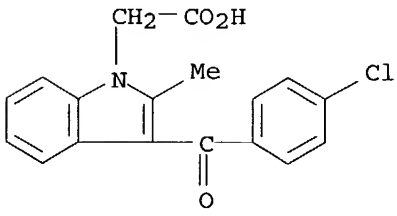
RN 25771-35-1 CAPLUS
CN 1H-Indole-1-acetic acid, 6-butoxy-3-(4-chlorobenzoyl)-2-methyl- (9CI) (CA INDEX NAME)



RN 26296-60-6 CAPLUS
CN 1H-Indole-1-acetic acid, 3-(4-chlorobenzoyl)-5,6-dimethoxy-2-methyl- (9CI) (CA INDEX NAME)

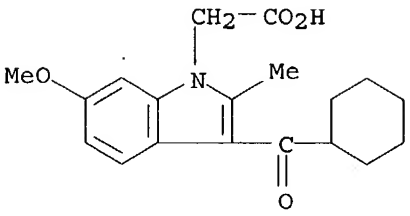


RN 26325-18-8 CAPLUS
CN 1H-Indole-1-acetic acid, 3-(4-chlorobenzoyl)-2-methyl- (9CI) (CA INDEX NAME)

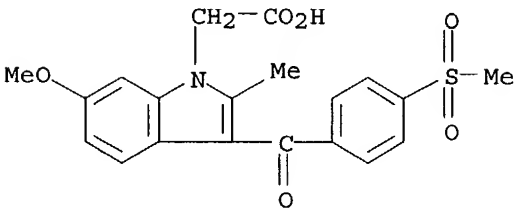


RN 31878-42-9 CAPLUS
CN 1H-Indole-1-acetic acid, 3-(cyclohexylcarbonyl)-6-methoxy-2-methyl- (9CI)

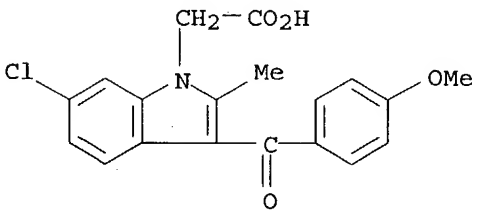
(CA INDEX NAME)



RN 31878-50-9 CAPLUS
CN 1H-Indole-1-acetic acid, 6-methoxy-2-methyl-3-[4-(methylsulfonyl)benzoyl]-(9CI) (CA INDEX NAME)



RN 31970-71-5 CAPLUS
CN 1H-Indole-1-acetic acid, 6-chloro-3-(4-methoxybenzoyl)-2-methyl- (9CI) (CA INDEX NAME)



L13 ANSWER 13 OF 16 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1970:66807 CAPLUS
DOCUMENT NUMBER: 72:66807
TITLE: 1-(Carboxyalkyl)indoles
INVENTOR(S): Bell, Malcolm Rie
PATENT ASSIGNEE(S): Sterling Drug Inc.
SOURCE: Ger. Offen., 110 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 1908541	A	19690918	DE 1969-1908541	19690220 <--

US 3557142	A	19710119	US 1968-706802	19680220 <--
GB 1206915	A	19700930	GB 1969-1206915	19690212 <--
JP 48043740	B4	19731220	JP 1969-12483	19690219 <--
BE 728675	A	19690820	BE 1969-728675	19690220 <--
NL 6902641	A	19690822	NL 1969-2641	19690220 <--
FR 2002284	A5	19691017	FR 1969-4336	19690220 <--
FR 2002284	B1	19730713		
CH 507238	A	19710515	CH 1969-507238	19690220 <--
SE 350259	B	19721023	SE 1969-2380	19690220 <--
BR 6906477	A0	19730116	BR 1969-206477	19690220 <--
US 3843683	A	19741022	US 1971-201142	19711122 <--

PRIORITY APPLN. INFO.: US 1968-706802 19680220
GB 1969-7719 19691229
US 1970-9945 19700209

GI For diagram(s), see printed CA Issue.

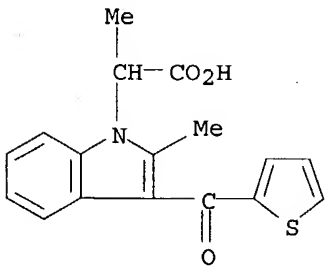
AB 1-Carboxyalkylindoles (I), with antiinflammatory activity, are prepared by reaction of indoles with XACO2R2, where X is a halogen, in inert solvents in the presence of a base. **Thus**, a solution of 50 g indole in 300 ml Et2O was added to 160 ml 3M EtMgBr diluted with 100 ml Et2O, 60 g BzCl in 90 ml Et2O was added and the mixture refluxed 2.5 hr to give 50 g 3-benzoylindole, m. 237-9°. This (20 g) and 5.1 g 52% NaH suspension in mineral oil was treated in 250 ml HCONMe2 with 17.9 g BrCH2CO2Et, to give 30.2 g I (A = CH2, R = Et, R1 = H, R2 = H, R3 = Bz), which was refluxed with alc. NaOH to yield 18.1 g I (A = CH2, R = H, R1 = H, R2 = H, R3 = Bz), m. 216-18°. The indoles are prepared by condensation of phenylhydrazines with ketones. **Thus**, 54 g PhNHNH2 and 50 g pinacoline in 300 ml benzene was refluxed 7 hr while H2O was distilled, and the mixture heated with 400 g ZnCl2 to give 2-tert-butylindole, b0.05 85-95°, m. 65-9°. The following I were prepared (A, R, R1, R2, R3, and m.p. given): (ACO2R =) H, H, Me, Bz, 183-4°; CH2, Et, H, Me, Bz, -(oil); CH2, H, H, Me, Bz, 211-12°; (CH2)2, Et, H, Me, Bz, -(oil); (CH2)2, H, H, Me, Bz, 205-7°; (ACO2R =) H, H, H, 4-ClC6H4CO, 180-200°; CH2, Et, H, H, 4-ClC6H4CO, -; CH2, H, H, H, 4-ClC6H4CO, 235-6°; (ACO2R =) H, H, Me, 4-ClC6H4CO, 181-3°; CH2, Et, H, Me, 4-ClC6H4CO, 145-6°; CH2, H, H, Me, 4-ClC6H4CO, 233-6°; (CH2)2, Et, H, Me, 4-ClC6H4CO, -(oil); (CH2)2, H, H, Me, 4-ClC6H4CO, 224-7° (decomposition); (ACO2R =) H, H, Me, 3,4-Cl2C6H3CO, 229-30°; CH2, Et, H, Me, 3,4-Cl2C6H3CO, -(oil); CH2, H, H, Me, 3,4-Cl2C6H3CO, 212-14°; (ACO2R =) H, H, Me, 4-MeC6H4CO, 202-4.5°; CH2, Et, H, Me, 4-MeC6H4CO, -; CH2, H, H, Me, 4-MeC6H4CO, 226-9.5° (decomposition); (ACO2R =) H, H, Me, 4-MeOC6H4CO, -; CH2, Et, H, Me, 4-MeOC6H4CO, -(oil); CH2, H, H, Me, 4-MeOC6H4CO, 208-10°; (ACO2R =) H, H, Me, 4-CF3C6H4CO, 195-7°; CH2, Et, H, Me, 4-CF3C6H4CO, 128-32°; CH2, H, H, Me, 4-CF3C6H4CO, 228-31°; (CH2)2, Et, H, H, Bz, -(oil); (CH2)2, H, H, H, Bz, 190-3°; (ACO2R =) H, H, Me, PhCH:CHCO, 153.5-6.5° (166-8°); CH2, Et, H, Me, PhCH:CHCO, 110-12°; CH2, H, H, Me, Ph-CH:CHCO, 220-5°; (CH2)2, Et, H, Me, PhCH:CHCO, -(gum); (CH2)2, H, H, Me, PhCH:CHCO, 164-6° (190-1°); (ACO2R =) H, 5,6-(MeO)2, Me, Bz, 210-12°; CH2, Et, 5,6-(MeO)2, Me, Bz, -; CH2, H, 5,6-(MeO)2, Me, Bz, 138-40° (189-91°); (CH2)2, Et, 5,6-(MeO)2, Me, Bz, -(gum); (CH2)2, H, 5,6-(MeO)2, Me, Bz, 198-201°; (CH2)2, Et, H, Me, 4-MeC6-H4CO, -(gum); (CH2)2, H, H, Me, 4-MeC6H4CO, 210.5-13°; (ACO2R =) H, 5,6-(MeO)2, Me, 4-ClC6H4CO, 223.5-5.5°; (CH2)2, Et, 5,6-(MeO)2, Me, 4-ClC6H4CO, -; (CH2)2, H, 5,6-(MeO)2, Me, 4-ClC6H4CO, 174-6.5°; CH2, Et, 5,6-(MeO)2, Me, 4-ClC6H4CO, -; CH2, H, 5,6-(MeO)2, Me, 4-ClC6H4CO, 157-9°; (ACO2R =) H, H, Me, 2,6-(MeO)2C6H3CO, 199-200°; CH2, Et, H, Me, 2,6-(MeO)2C6H3CO, -; CH2, H, H, Me, 2,6-(MeO)2C6H3CO, 250° (decomposition); (CH2)2, Et, H, Me, 2,6-(MeO)2C6H3CO, -; (CH2)2, H, H, Me,

2,6-(MeO)2C6H3CO, 195-7°; (ACO2R =) H, H, Me, 4-O2NC6H4CO, 230-2°; CH2, Et, H, Me, 4-O2NC6H4CO, 156-8.5°; CH2, H, H, Me, 4-O2NC6-H4CO, -; MeCH, H, H, Me, Bz, 225-7°; MeCH, H, H, Me, 4-ClC6H4CO, 116°; (CH2)2, H, H, Me, 4-MeOC6H4CO, 177-8.5°; (CH2)2, Et, 5,6-(MeO)2, Me, 4-ClC6H4CO, -(gum); (CH2)2, H, 5,6-(MeO)2, Me, 4-ClC6H4CO, 193.5-5.5°; (ACO2R =) H, 5-F, Me, 4-ClC6H4CO, 231-3°; CH2, H, 5-F, Me, 4-ClC6H4CO, -; (CH2)2, H, 5-F, Me, 4-ClC6H4CO, 205-7°; (ACO2R =) H, 5-F, Me, Bz, 232-4°; CH2, H, 5-F, Me, Bz, 253-5°; (CH2)2, H, 5-F, Me, Bz, 228-30°; (ACO2R =) H, H, Me, 2,6-Cl2C6H3CO, 232-4°; CH2, H, H, Me, 2,6-Cl2C6-H3CO, 242-3°; (CH2)2, H, H, Me, 2,6-Cl2C6H3CO, 194-6°; CH2MeCH, H, H, $"°; CH2, H, H, Me, 2-thenoyl, 227-9°; MeCH, H, H, Me, 2-thenoyl, 185-9°; (CH2)2,H, H, Me, 2-thenoyl, 169-71°; CH2, Et, H, Me, 3-O2NC6H4CO, 155-8°; CH2, Et, H, Me, 4-H2NC6H4CO, 85-8.5°; CH2, H, H, Me, 4-H2NC6H4CO, -; (ACO2R =) H, H, tert-Bu, Bz, 215-20°; (CH2)2, H, H, Me, 4-O2NC6H4CO, 244-6°; (CH2)2, H, H, Me, 4-H2NC6H4CO, 228-31°; (CH2)2, H, H, Me, 4-Me2NC6H4CO, 169-71.5°; (CH2)2, H, H, Me, 4-tert-BuC6H4CO, 165.5-68°; (CH2)2, H, 5-Me, ,me, Bz, 212-14°; CH2, Et, H, Me, Ph, -(oil); CH2, H, H, Me, Ph, 159-67°; CH2, Et, H, Me, 4-ClC6H4, -(oil); CH2, H, H, Me, 4-ClC6H4, 188-202° (decomposition); (CH2)2, Et, H, Me, Ph, -(oil); (CH2)2, H, H, Me, Ph, 135-7.5°; (CH2)2, Et, H, Me, 4-ClC6H4, -; (CH2)2, H, H, Me, 4-ClC6H4, 143.5-5.5°; CH2, Et, H, Me, 4-ClC6H4CH2, -(oil); CH2, H, H, Me, 4-ClC6H4CH2, 202-5°; (CH2)2, Na, H, Me, Bz, -; (CH2)2, H, H, Me, 4-AcNHC6H4CO, 215-18°; (CH2)3, H, H, Me, Bz, 151-3°; (CH2)2, H, H, Me, 3,4,5-(MeO)3C6H2CO, 174-6°; (ACO2R =) H, 4-Me, Me, Bz, 174-5°; (CH2)2, H, 4-Me, Me, Bz, 187-8°; (ACO2R =) H, H, Me, 3,4-Me2C6H3CO, 204-7°; (CH2)2, H, H, Me, 3,4-Me2C6-H3CO, 182-5°; (ACO2R =) H, H, Me, 3,5-Me2C6H3CO, 256-8°; (CH2)2, H, H, Me, 3,5-Me2C6H3CO, 152-4°; (ACO2R =) H, H, Me, 3,4-FMeC6H3CO, 209-10.5°; (CH2)2, H, H, Me, 3,4-FMeC6H3CO, 193-6°; (ACO2R =) H, H, Me, 4-FC6H4CO, -; (CH2)2, H, H, Me, 4-FC6H4CO, 215-19°; (ACO2R =) H, H, Me, 3-FC6H4CO, -; (CH2)2, H, H, Me, 3-FC6H4CO, 179-81.5°; (ACO2R =) H, H, Me, 2,4,6-Me3C6H2CO, 261-8°; (CH2)2, H, H, Me, 2,4,6-Me3C6H2CO, 150-2.5°; (ACO2R =) H, H, Me, 4,3-Me(MeO)C6H3CO, -; (CH2)2, H, H, Me, 4,3-Me(MeO)-C6H3CO, 173-5°; (ACO2R =) H, H, Me, 4-EtC6H4CO, -; (CH2)2, H, H, Me, 4-EtC6H4CO, 174-7°; (ACO2R =) H, H, Me, C6H11CO (C6H11 = cyclohexyl), -; (CH2)2, H, H, Me, C6H11CO, 163-5°; (ACO2R =) H, H, Me, 3-MeC6H4CO, -; (CH2)2, H, H, Me, 3-MeC6H4CO, 170-3°; (ACO2R =) H, H, Me, 3,4-(MeO)2C6H3CO, -; (CH2)2, H, H, Me, 3,4-(MeO)2-C6H3CO, 143-5.5°; (ACO2R =) H, H, Me, adamantanecarbonyl, 155-8°; (CH2)2, H, H, Me, adamantanecarbonyl, 169-71°; (ACO2R =) H, H, Me, 4-PhC6H4CO, 222-4°; (CH2)2, H, H, Me, 4-PhC6H4CO, 171.5-74°; (ACO2R =) H, H, Me, C5H9CO (C5H9 = cyclopentyl), -; (CH2)2, H, H, Me, C5H9CO, 138-40.5°; (ACO2R =) H, H, Me, 2,4-(MeO)2C6H3CO, -; (CH2)2, H, H, Me, 2,4-(MeO)2C6H3CO, 194-6.5°; (ACO2R =) H, 5-Me, Me, 4-MeC6H4CO, 231-2°; (CH2)2, H, 5-Me, Me, 4-MeC6H4CO, 215-16°; (ACO2R =) H, H, Me, 4-iso-PrC6H4CO, -; (CH2)2, H, H, Me, 4-iso-PrC6H4CO, 174.5-6.5°; (ACO2R =) H, 4-Me, Me, 4-MeOC6H4CO, 76-7°; and (CH2)2, H, 4-Me, Me, 4-MeOC6H4CO, 179-80°.

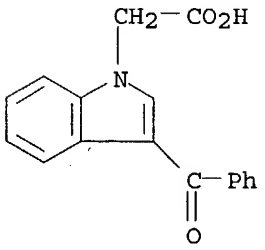
IT **26205-91-4P 26211-72-3P 26211-79-0P 26211-86-9P 26211-89-2P 26211-92-7P 26211-95-0P 26212-00-0P 26296-58-2P 26296-60-6P 26296-63-9P 26296-67-3P 26296-68-4P 26296-69-5P 26296-75-3P 26296-77-5P 26296-81-1P 26325-17-7P 26325-18-8P 26325-20-2P 26367-87-3P**

RL: SPN (Synthetic preparation); PREP (Preparation)

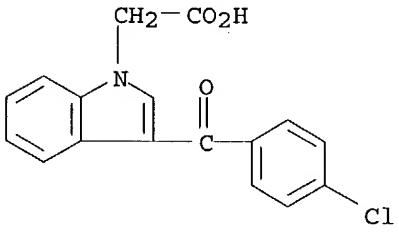
(preparation of)
RN 26205-91-4 CAPLUS
CN Indole-1-acetic acid, α,2-dimethyl-3-(2-thenoyl)- (8CI) (CA INDEX NAME)



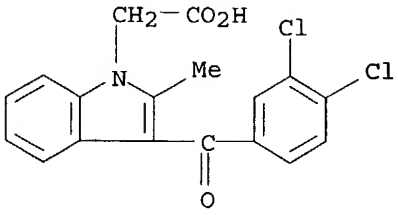
RN 26211-72-3 CAPLUS
CN 1H-Indole-1-acetic acid, 3-benzoyl- (9CI) (CA INDEX NAME)



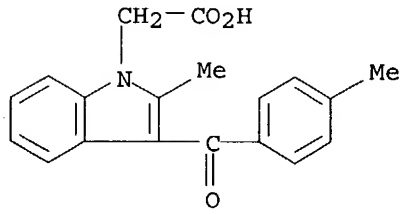
RN 26211-79-0 CAPLUS
CN Indole-1-acetic acid, 3-(p-chlorobenzoyl)- (8CI) (CA INDEX NAME)



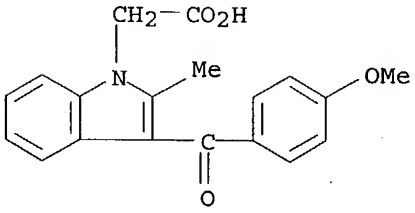
RN 26211-86-9 CAPLUS
CN Indole-1-acetic acid, 3-(3,4-dichlorobenzoyl)-2-methyl- (8CI) (CA INDEX NAME)



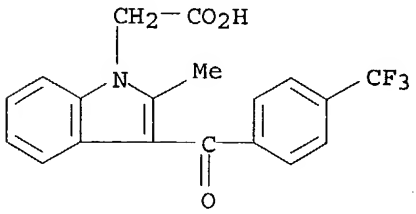
RN 26211-89-2 CAPLUS
CN Indole-1-acetic acid, 2-methyl-3-p-toluoyl- (8CI) (CA INDEX NAME)



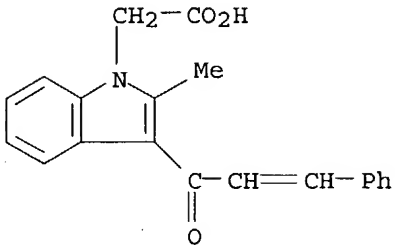
RN 26211-92-7 CAPLUS
CN 1H-Indole-1-acetic acid, 3-(4-methoxybenzoyl)-2-methyl- (9CI) (CA INDEX NAME)



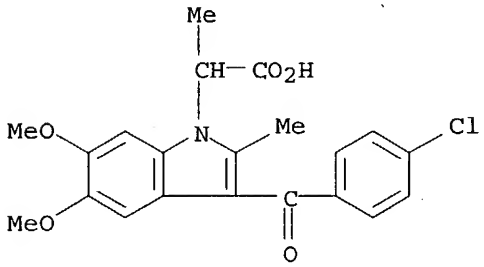
RN 26211-95-0 CAPLUS
CN Indole-1-acetic acid, 2-methyl-3-(α,α,α-trifluoro-p-toluoyl)- (8CI) (CA INDEX NAME)



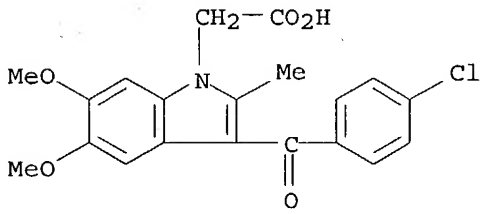
RN 26212-00-0 CAPLUS
CN Indole-1-acetic acid, 3-cinnamoyl-2-methyl- (8CI) (CA INDEX NAME)



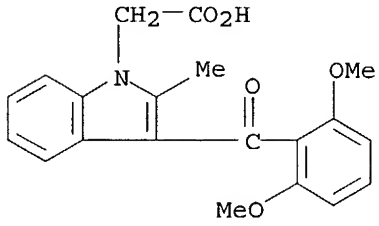
RN 26296-58-2 CAPLUS
CN Indole-1-acetic acid, 3-(p-chlorobenzoyl)-5,6-dimethoxy-α,2-dimethyl- (8CI) (CA INDEX NAME)



RN 26296-60-6 CAPLUS
CN 1H-Indole-1-acetic acid, 3-(4-chlorobenzoyl)-5,6-dimethoxy-2-methyl- (9CI) (CA INDEX NAME)

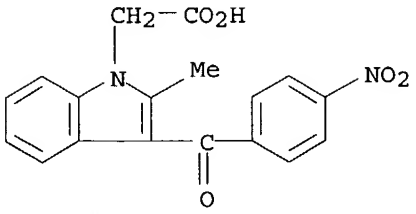


RN 26296-63-9 CAPLUS
CN Indole-1-acetic acid, 3-(2,6-dimethoxybenzoyl)-2-methyl- (8CI) (CA INDEX NAME)

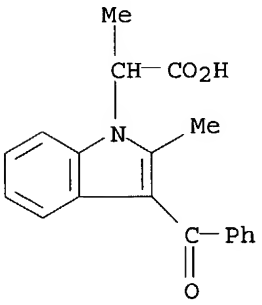


RN 26296-67-3 CAPLUS

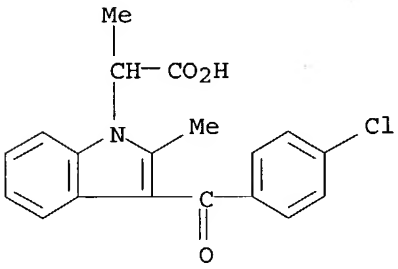
CN Indole-1-acetic acid, 2-methyl-3-(p-nitrobenzoyl)- (8CI) (CA INDEX NAME)



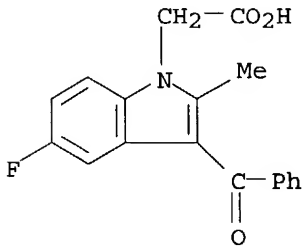
RN 26296-68-4 CAPLUS
CN 1H-Indole-1-acetic acid, 3-benzoyl-α,2-dimethyl- (9CI) (CA INDEX NAME)



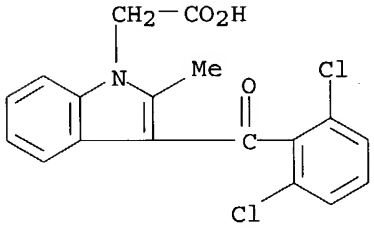
RN 26296-69-5 CAPLUS
CN Indole-1-acetic acid, 3-(p-chlorobenzoyl)-α,2-dimethyl- (8CI) (CA INDEX NAME)



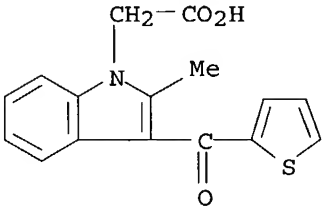
RN 26296-75-3 CAPLUS
CN Indole-1-acetic acid, 3-benzoyl-5-fluoro-2-methyl- (8CI) (CA INDEX NAME)



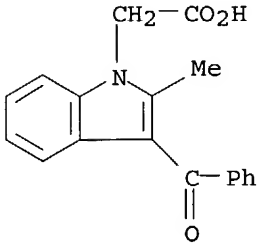
RN 26296-77-5 CAPLUS
CN Indole-1-acetic acid, 3-(2,6-dichlorobenzoyl)-2-methyl- (8CI) (CA INDEX NAME)



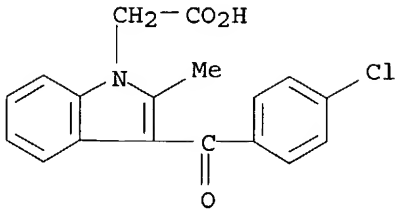
RN 26296-81-1 CAPLUS
CN Indole-1-acetic acid, 2-methyl-3-(2-thenoyl)- (8CI) (CA INDEX NAME)



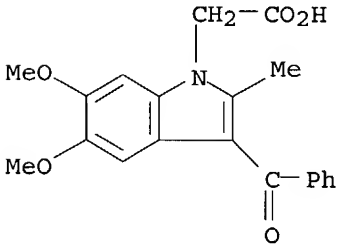
RN 26325-17-7 CAPLUS
CN Indole-1-acetic acid, 3-benzoyl-2-methyl- (8CI) (CA INDEX NAME)



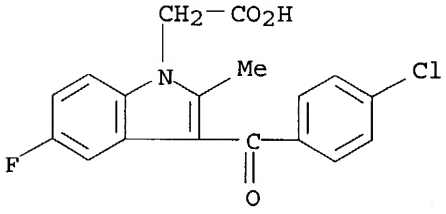
RN 26325-18-8 CAPLUS
CN 1H-Indole-1-acetic acid, 3-(4-chlorobenzoyl)-2-methyl- (9CI) (CA INDEX NAME)



RN 26325-20-2 CAPLUS
CN Indole-1-acetic acid, 3-benzoyl-5,6-dimethoxy-2-methyl- (8CI) (CA INDEX NAME)



RN 26367-87-3 CAPLUS
CN Indole-1-acetic acid, 3-(p-chlorobenzoyl)-5-fluoro-2-methyl- (8CI) (CA INDEX NAME)



L13 ANSWER 14 OF 16 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1970:43440 CAPLUS
DOCUMENT NUMBER: 72:43440
TITLE: 1-(Carboxyalkyl)-2-methyl-3-[substituted benzoyl (and thiobenzoyl)]indoles
INVENTOR(S): Allais, Andre; Nomine, Gerard
PATENT ASSIGNEE(S): Roussel-UCLAF
SOURCE: Ger. Offen., 57 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 1901167	A	19691211	DE 1969-1901167	19690110 <--

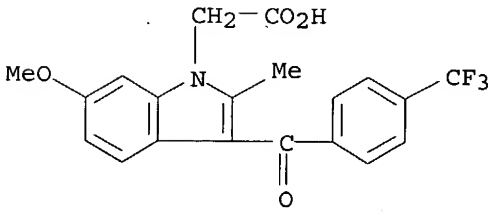
DE 1901167 B2 19770317
DE 1901167 C3 19771103
PRIORITY APPLN. INFO.: FR 1968-76135641 19680111
FR 1968-76165812 19680410
FR 1968-76147662 19680910
FR 1968-76177430 19680911
FR 1968-76165689 19681210
FR 1968-76177431 19681210

GI For diagram(s), see printed CA Issue.

AB The title compds. (I, X = RCO) are prepared by acylation of a 2-methylindole derivative (II, X = H) with POCl3, etc. in the presence of dialkylcarbamates, followed by hydrolysis of the resulting complex to give the N-alkyl derivative of II (X = COR), which is treated with ω-halo-alkanecarboxylic acids. **Thus**, to 75 ml AcOH, 9.5 ml EtNO2, and 6.5 g NH4OAc was added 15 g 2-nitro-4-methoxybenzaldehyde to give 9.6 g 2,4-O2N(MeO)C6H3CH:CMeNO2, m. 111° (EtOH). This (32 g), 320 ml EtOAc, 48 ml EtOH, and 240 ml AcOH was hydrogenated at 50° over 3.2 g 18% Pd/C to absorb 18.2 l. H and the product passed through Al2O3 to give 6.4 g II (X = H, R1 = MeO), m. 104°. This (9 g) was added to a suspension of 20.6 g p-Me2NCOC6H4Cl in 6.4 ml POCl3 to give 16.5 g yellow II (R1 = MeO, X = p-ClC6H4 cO) m. 208°. This (2 g) in 20 ml Me2NCHO was added to 0.32 g NaH (50% oil suspension) in 20 ml Me2NCHO, and 1 g ClCH2OAc in 5 ml Me2NCHO added to yield 1.9 g I (R1 = MeO, X = p-ClC6H4CO, A = CH2, R2 = Me), m. 148-9° (MeOH). This (7.45 g) was refluxed with 2.25 g KOH in 100 ml MeOH and 5 ml H2O to give 3.7 g I (R1 = MeO, X = p-ClC6H4CO, A = CH2, R2 = H), m. 242°. The tabulated compds. were similarly prepared A solution of 37 g m-BuOC6H4NH2 and 4 g MeCOCH(OMe)2 (III) in 150 ml C6H6 was refluxed 2 hr and 13.2 g III introduced to give 59 g oily Schiff base, which in 146 ml EtOH was treated with 4.7 g NaBH4 to give 10.5 g m-BuOC6H4NHCHMeCH(OMe)2, b0.8 145-50°, which was cyclized in C6H6 with BF3 to yield II (X = H, R1 = BuO), m. 50-5°. To 180 ml C6H6 solution of 11.25 g Me2NH was added 12.9 g p-FC6H4COCl in 40 ml C6H6 to give 11.1 g p-FC6H4CONMe2, m. 64°. Similarly prepd were p-F3CC6H4CONMe2, m. 65-75°, and p-MeSC6H4CONMe2, b0.85 145-6°. The latter gave p-MeSO2C6H4CONMe2 on oxidation with H2O2 in AcOH. I have analgetic and antiinflammatory properties.

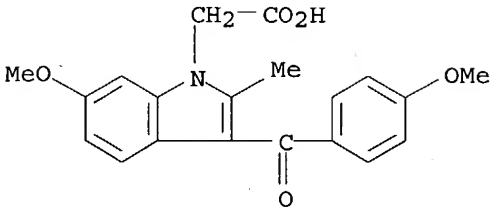
IT **25771-20-4P 25771-23-7P 25771-27-1P**
25771-31-7P 25771-35-1P 25803-14-9P
25803-17-2P 25803-21-8P 57329-96-1P
57329-97-2P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 25771-20-4 CAPLUS
CN 1H-Indole-1-acetic acid, 6-methoxy-2-methyl-3-[4-(trifluoromethyl)benzoyl]-(9CI) (CA INDEX NAME)

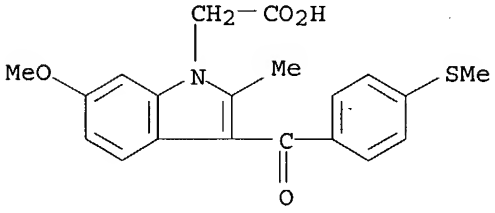


RN 25771-23-7 CAPLUS
CN 1H-Indole-1-acetic acid, 6-methoxy-3-(4-methoxybenzoyl)-2-methyl- (9CI)

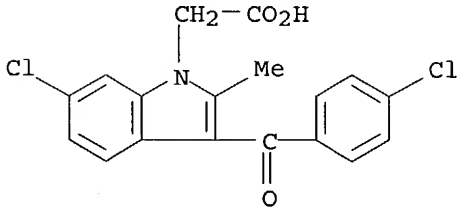
(CA INDEX NAME)



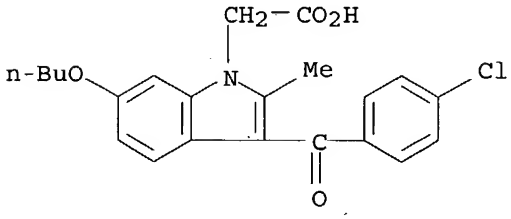
RN 25771-27-1 CAPLUS
CN 1H-Indole-1-acetic acid, 6-methoxy-2-methyl-3-[4-(methylthio)benzoyl]-(9CI) (CA INDEX NAME)



RN 25771-31-7 CAPLUS
CN 1H-Indole-1-acetic acid, 6-chloro-3-(4-chlorobenzoyl)-2-methyl- (9CI) (CA INDEX NAME)

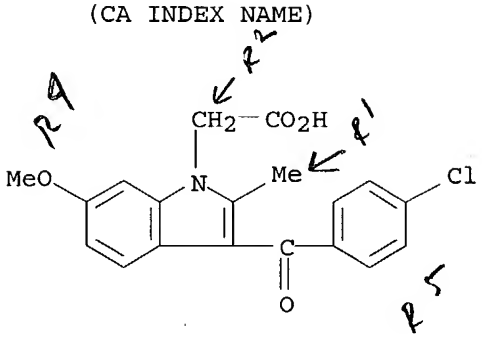


RN 25771-35-1 CAPLUS
CN 1H-Indole-1-acetic acid, 6-butoxy-3-(4-chlorobenzoyl)-2-methyl- (9CI) (CA INDEX NAME)

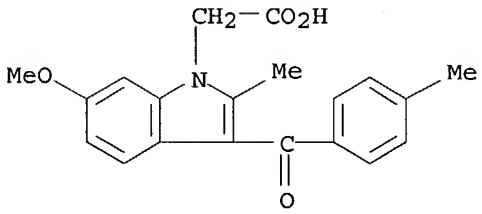


RN 25803-14-9 CAPLUS
CN 1H-Indole-1-acetic acid, 3-(4-chlorobenzoyl)-6-methoxy-2-methyl- (9CI)

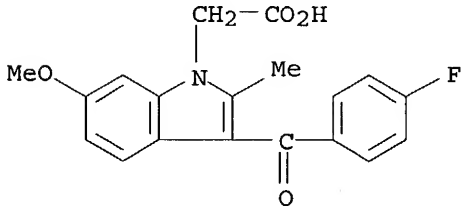
(CA INDEX NAME)



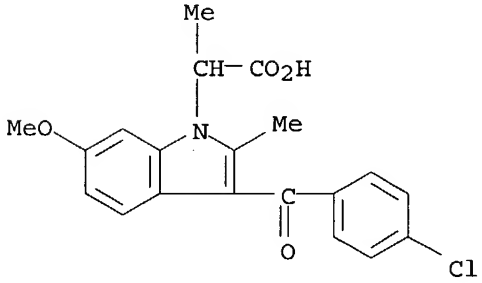
RN 25803-17-2 CAPLUS
CN 1H-Indole-1-acetic acid, 6-methoxy-2-methyl-3-(4-methylbenzoyl)- (9CI) (CA INDEX NAME)



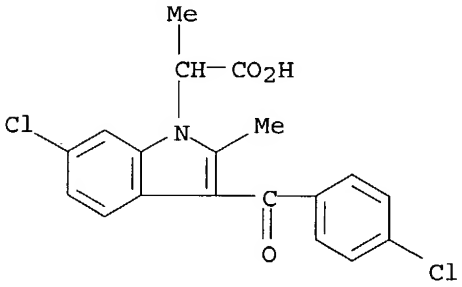
RN 25803-21-8 CAPLUS
CN 1H-Indole-1-acetic acid, 3-(4-fluorobenzoyl)-6-methoxy-2-methyl- (9CI) (CA INDEX NAME)



RN 57329-96-1 CAPLUS
CN 1H-Indole-1-acetic acid, 3-(4-chlorobenzoyl)-6-methoxy-α,2-dimethyl- (9CI) (CA INDEX NAME)



RN 57329-97-2 CAPLUS
CN 1H-Indole-1-acetic acid, 6-chloro-3-(4-chlorobenzoyl)-α,2-dimethyl- (9CI) (CA INDEX NAME)



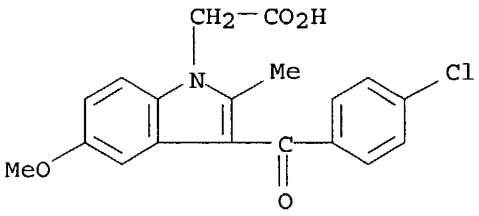
L13 ANSWER 15 OF 16 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1969:481169 CAPLUS
DOCUMENT NUMBER: 71:81169
TITLE: 2-Methyl-3-(p-chlorobenzoyl)-5-methoxyindole-1-acetic acid analgesics
INVENTOR(S): Allais, Andre; Paturet, Michel
PATENT ASSIGNEE(S): Roussel-UCLAF
SOURCE: Fr. M., 4 pp.
CODEN: FMXXAJ
DOCUMENT TYPE: Patent
LANGUAGE: French
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
FR 5173		19670724	FR	19660211 <--

GI For diagram(s), see printed CA Issue.
AB The title compound (I), useful as an analgesic, was prepared by reacting p-chloro-N,N-dimethylbenzamide (II) in the presence of POCl3 with 2-methyl-5-methoxyindole (III), and alkaline hydrolysis of the resulting complex to form 2-methyl-3-(p-chlorobenzoyl)-5-methoxyindole (IV), followed by condensation of ClCH2CO2Na with the Na salt of IV. I was also prepared via condensation of ClCH2CO2Me with the Na salt of IV to form Me 2-methyl-3-(p-chlorobenzoyl)-5-methoxyindole-1-acetate, (V) which was subsequently hydrolyzed under basic condition. **Thus**, 19 g. II was suspended with cooling in 6 cc. POCl3, treated slowly with 8.35 g. III, heated to 160-70°, cooled to 80-90°, kept 2 hrs. at 80-90°, cooled to 20°, 250 cc. EtOH added, the mixture poured into 1 l. H2O, adjusted to pH 10 by addition of NaOH, stirred 2 hrs. at ambient temperature, and the precipitate filtered off to yield upon work-up 12.21 g.
IV, m. 191-2° (EtOH). To a mixture of 240 mg. NaH (50% suspension) and 5 cc. HCONMe2 (DMF) was added slowly a solution of 1.5 g. IV in 10 cc. DMF, followed by 645 mg. ClCH2CO2Na and the mixture heated 0.5 hr. at 70-80° to give 930 mg. I, m. 252-4° (EtOH). A solution of 3.5 g. IV in 10 cc. DMF was added slowly to a mixture of 0.56 g. NaH (50% suspension) in 10 cc. DMF, stirred 30 min. at ambient temperature, treated by slow addition of a solution of 1.4 g. ClCH2CO2Me in 7 cc. DMF, and stirred overnight at 20° to yield 3.27 g. V, m. 156-8° (MeOH). K (0.35 g.) was dissolved in 25 cc. MeOH, 1.1. g. V added, the mixture refluxed 1 hr. and worked-up to yield 1.02 g.I. I and its salts may be

administered via oral, transcutaneous, or rectal routes in daily doses of 100-2000 mg.

IT **19646-24-3P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 19646-24-3 CAPLUS
CN 1H-Indole-1-acetic acid, 3-(4-chlorobenzoyl)-5-methoxy-2-methyl- (9CI) (CA INDEX NAME)



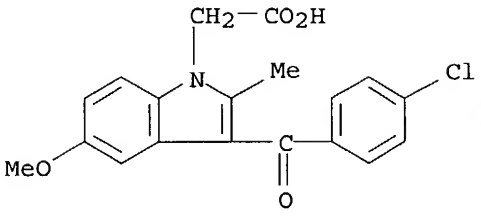
L13 ANSWER 16 OF 16 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1968:459092 CAPLUS
DOCUMENT NUMBER: 69:59092
TITLE: 1-Carboxymethyl-2-methyl-3-(p-chlorobenzoyl)-5-methoxyindole
INVENTOR(S): Allais, Andre; Paturet, Michel
PATENT ASSIGNEE(S): Roussel-UCLAF
SOURCE: Fr., 5 pp.
CODEN: FRXXAK
DOCUMENT TYPE: Patent
LANGUAGE: French
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
FR 1492929		19670825	FR	19660511 <--

GI For diagram(s), see printed CA Issue.

AB The title compound (I) is prepared from compds. of the general formula II. **Thus**, 19 g. p-ClC6H4CONMe2 in 6 ml. POCl3 is treated with 8.35 g. 2-methyl-5-methoxyindole at 160-70° to give 12.21 g. II (R = H) (III), m. 191-2°. A solution of 3.5 g. III in 10 ml. HCONMe2 is treated with a solution of 1.4 g. ClCH2CO2Me in 7 ml. HCONMe2 in the presence of a mixture of 0.56 g. 50% NaH (vaseline oil) and 10 ml. HCONMe2 to give 3.27 g. II (R = CH2CO2Me) (IV), m. 156-8°. IV (1.1 g.) is added to a solution of 0.35 g. KOH in 25 ml. MeOH and the mixture refluxed 1 hr. to give 1.02 g. I, m. 252-4°.

IT **19646-24-3P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 19646-24-3 CAPLUS
CN 1H-Indole-1-acetic acid, 3-(4-chlorobenzoyl)-5-methoxy-2-methyl- (9CI) (CA INDEX NAME)



=> log y

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	119.64	627.25

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	-16.80	-22.40

STN INTERNATIONAL LOGOFF AT 17:02:17 ON 09 SEP 2004